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NEWS 4
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                CA/CAplus to be enhanced with updated IPC codes
NEWS 6 DEC 14
                IPC search and display fields enhanced in CA/CAplus with the
NEWS
        DEC 21
                 IPC reform
                New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/
        DEC 23
NEWS
     8
                 USPAT2
         JAN 13
                 IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 9
                New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to
NEWS 10
        JAN 13
                 INPADOC
                 Pre-1988 INPI data added to MARPAT
        JAN 17
NEWS 11
                 IPC 8 in the WPI family of databases including WPIFV
        JAN 17
NEWS 12
NEWS 13 JAN 30
                Saved answer limit increased
                Monthly current-awareness alert (SDI) frequency
NEWS 14 JAN 31
                 added to TULSA
              JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.01,
NEWS EXPRESS
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NEWS EXPRESS JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.U1,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
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STRUCTURE FILE UPDATES: 1 FEB 2006 HIGHEST RN 873294-13-4 DICTIONARY FILE UPDATES: 1 FEB 2006 HIGHEST RN 873294-13-4

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http://www.cas.org/ONLINE/UG/regprops.html

=>

Uploading C:\Program Files\Stnexp\Queries\10804505\e.str

$$\begin{array}{c} G_1 \\ G_1 \\ G_1 \\ G_1 \\ \end{array}$$

$$\begin{array}{c} Ak^{1} \\ 18 \\ 3 \\ 5 \\ \end{array}$$

$$\begin{array}{c} 9 \\ 15^{1} \\ 8 \\ 10 \\ 17 \\ \end{array}$$

$$\begin{array}{c} 15^{1} \\ 17 \\ \end{array}$$

chain nodes :

02/03/2006

1-2 1-6 2-3 3-4 4-5 5-6

7 9 13 15 17 18 20
ring nodes:
1 2 3 4 5 6 8 10 11 12
chain bonds:
1-20 2-13 3-18 5-7 7-8 7-9 13-17
ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 8-10 8-12 10-11 11-12
exact/norm bonds:
1-20 2-13 3-18 7-8 7-9 8-10 8-12 10-11 11-12 13-17
exact bonds:
5-7
normalized bonds:

G1:H, [*1]

Match level:

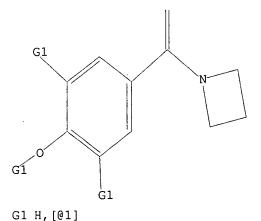
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:CLASS 10:Atom 11:Atom 12:Atom 13:CLASS 15:CLASS 17:CLASS 18:CLASS 20:CLASS Generic attributes:
15:

Ak ¹

Number of Carbon Atoms : less than 7

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11 SAMPLE SEARCH INITIATED 14:18:57 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 19769 TO ITERATE

10.1% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 386964 TO 403796
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 14:19:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 393651 TO ITERATE

100.0% PROCESSED 393651 ITERATIONS 37 ANSWERS

SEARCH TIME: 00.00.08

L3 37 SEA SSS FUL L1

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167.15

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=> s 13

L4 20 L3

=> d ibib abs hitstr 1-20

L4 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER:

144:102803

Different Transition-State Structures for the Reactions of β-Lactams and Analogous β-Sultams with Serine β-Lactamses

AUTHOR(S):

Tagn, Ming Y: Almed, Naveed: Minchliffe, Paul S.:

Wood, J. Matthew: Harding, Lindsay P.: Laws, Andrew P.: Page, Michael I.

Department of Chemical and Biological Sciences, University of Huddersfield, Queensgate /Huddersfield, MD1 3DH, USA

JOURDAI of the American Chemical Society (2005), 127(49), 17556-17564

PUBLISHER:

DOCUMENT TYPE:

LANGUAGE:

American Chemical Society

Journal

LANGUAGE:

English

AB β-Sultams are novel inactivators of the class C β-lactamse of Enterobacter cloacae P99. They sulfonylate the active site serine residue

to form a sulfonate ester which subsequently undergoes C-O bond fission

Enterobacter cloacae P99. They sulfonylate the active site serine residue to form a sulfonate ester which subsequently undergoes C-O bond fission and formation of a dehydroalanine residue by elimination of the sulfonate anion as shown by electrospray ionization mass spectroscopy. The analogous N-acyl B-lactams are substrates for B-lactamsee and undergo enzyme-catalyzed hydrolysis presumably by the normal acylation-deacylation process. The rates of acylation of the enzyme by the B-lactams, measured by the second-order rate constant for hydrolysis, kcat/Km. and those of sulfonylation by the B-sultams, measured by the second-order rate constant for inactivation, ki, both

measured by the second-order rate constant for inactivation, ki, both similar pH dependence to that exhibited by the β -lactamase-catalyzed hydrolysis of β -lactam antibiotics. Electron-withdrawing groups in the aryl residue of the leaving group of N-aroyl β -lactams increase the rate of alkaline hydrolysis and give a Bronsted β lg of -0.55, indicative of a late transition state for rate-limiting formation of the tetrahedral intermediate. Interestingly, the corresponding Bronsted β lg for the β -lactamase-catalyzed hydrolysis of the same substrates is -0.06, indicative of an earlier transition state for the enzyme-catalyzed reaction. By contrast although the Bronsted β lg for the alkaline hydrolysis of N-aroyl β -sultams is -0.73, similar to that for the β -lactamase by these compds. is -1.46, compatible with significant amide annon expulsion/S-N fission in the transition state. In this case, the enzyme reaction displays a later transition state compared with hydroxide-ion-catalyzed hydrolysis of the β -sultams. 873073-29-19 RLD SSU (Biological study, unclassified); PRP (Properties); SPN

RL: BSU (Biological study, unclassified); PRP (Properties); SPN

thetic
preparation); BIOL (Biological study); PREP (Preparation)
 (different transition-state structures for reactions of β-lactams
 and analogous β-sultams with serine β-lactamases)
873073-29-1 HCAPLUS
INDEX NAME NOT YET ASSIGNED

L4 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2005:823313 HCAPLUS
DOCUMENT NUMBER: 143:229708

143:229708
A preparation of azetidine derivatives, useful as COX-1/COX-2 inhibitors
Altisen, Rosa Cuberes; Constansa, Jordi Frigola;
Alvarez, Mathieu Ines TITLE:

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

Spain
U.S. Pat. Appl. Publ., 21 pp.
CODEN: USXXCO
Patent

DOCUMENT TYPE:

English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | | | | | KIN | D | DATE | | i | APPL | I CAT | ION | NO. | | | ATE | |
|----------|------|------|-----|-----|-----|-----|------|------|-----|------|-------|------|-----|-----|-----|------|-----|
| | | | | | | - | | | | | | | | | | | |
| US 2 | 2005 | 1820 | 41 | | A1 | | 2005 | 0818 | | | 004- | | | | | 0040 | |
| ES 2 | 2244 | 313 | | | A1 | | 2005 | 1201 | | | 004- | | | | | 0040 | |
| WO 2 | 2005 | 0778 | 96 | | A1 | | 2005 | 0825 | 1 | WO 2 | 005- | EP16 | 57 | | 21 | 0050 | 216 |
| | W: | AE. | AG. | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN. | co. | CR. | CU. | cz. | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE. | GH. | GM. | HR. | HU. | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | ΚZ, | LC, |
| | | | | | | | LV, | | | | | | | | | | |
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| | | | | | | | TZ, | | | | | | | | | | |
| | RW: | | | | | | MW, | | | | | | | | | | |
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| | | | | | TD. | | | , | , | | | | | | | | |
| PRIORITY | APP | | | | -2, | - | | | | ES 2 | 004- | 363 | | | A 2 | 0040 | 216 |

US 2004-804505

A 20040319

OTHER SOURCE(S): MARPAT 143:229708

L4 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

79

REFERENCE COUNT:

THERE ARE 79 CITED REFERENCES AVAILABLE FOR

RECORD ALL CITATIONS AVAILABLE IN THE RE

FORMAT

carbon atom; R1 and R3 are independently H or aliphatic group; R2 is H, or alkoxy; R4 is H, aryl, or aliphatic group; R5 and R6 are independently selected from H, halogen, OH, aliphatic group, or NH2, etc.], useful as COX-1/COX-2 inhibitors. For instance, azetidine derivative II (rata, analgesia test: BD50 = 0.4 mg/kg, test for activity against edema: ED50 = 3 mg/kg, antiarthritic activity; ED50 = 0.5 mg/kg) was prepared via amidation of 3,5-di-tert-butyl-4-hydroxybenzoyl chloride by azetidin-3-ol hydrochloride with a yield of 30%.
862780-46-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); RTU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RCT (Reactant or reagent); USES (Uses)
 (preparation of azetidine derivs. useful as COX-1/COX-2 inhibitors) 862180-65-9 HCAPLUS
3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]- (9CI) (CA INDEX NAME)

862780-47-0P 862780-52-7P 862780-55-0P
862780-56-1P 862780-57-2P 862780-58-3P
862780-60-7P 862780-61-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(Oregaration of azatiding derive useful as GOV 1/60V 2 / 1/10V

(Uses)
(preparation of azetidine derivs. useful as COX-1/COX-2 inhibitors)
862780-47-0 HCAPLUS
3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-3-methyl(SCI) (CA INDEX NAME)

 $\label{eq:controlled} 862780-52-7 \quad HCAPLUS \\ Acetamide, N-\{(28,38)-1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl\}-2-methyl-3-azetidinyl]-2,2,2-trifluoro-\{CI\} \quad (CA INDEX NAME)$

Absolute stereochemistry.

ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

862780-55-0 HCAPLUS 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-2-methyl-[GCI] (CA INDEX NAME)

862780-56-1 HCAPLUS Azetidine, 1-(3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl)-3-bromo- (9CI) (CA INDEX NAME)

862780-57-2 HCAPLUS 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]- (9CI) (CA INDEX NAME)

AUTHOR(S): Joerg;

SOURCE:

ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN 862780-58-3 HCAPLUS (Continued)

3-Azetidinol, 1-[4-hydroxy-3,5-bis(1-methylethyl)benzoyl}- (9CI) (CA

862780-60-7 HCAPLUS
3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-2-phenyl-(9CI) (CA INDEX NAME)

862780-61-8 HCAPLUS
3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-3-phenyl(SCI) (CA INDEX NAME)

L4 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2004:928619 HCAPLUS DOCUMENT NUMBER: 142:56031 Cyclopropyl building blocks for

142:56031
Cyclopropyl building blocks for organic synthesis,
102. A convenient new synthesis of 3-substituted
β-lactams formally derived from
1-(aminomethyl)cyclopropanecarboxylic acids
Zanobini, Alessandra; Gensini, Martina; Magull,

Vidovic, Denis; Kozhushkov, Sergei I.; Brandi,

Vidovic, Denis; Kozhusnkov, Sergei 1.; Brandi, Alberto; de Meijere, Armin Institut fuer Organische und Biomolekulare Chemie, Georg-August-Universitaet, Goettingen, 37077, Germar European Journal of Organic Chemistry (2004), (20), 4158-4166 CODEN: EJOCFK; ISSN: 1434-193X Wiley-VCH Verlag GmbH & Co. KGAA CORPORATE SOURCE:

PUBLISHER: Journal

DOCUMENT TYPE: LANGUAGE:

OTHER SOURCE(S):

MENT TYPE: Journal
UNGE: English R SOUNCE(S): CASRENCT 142:56031

1,3-Dipolar cycloaddn. of N-benzyl-C-(methoxycarbonyl)-nitrone,
N-benzyl-C-phenylnitrone, N-benzyl-C-cyanonitrone, N-(p-methoxybenzyl)-Ccyanonitrone, N-phenyl- and N-(2-pyridyl)-C-methylnitrones to
bicyclopropylidene gave the corresponding cycloadducts. Treatment of
these bisspirocyclopropanated isoxazolidines with trifluoroacetic acid in
acetonitrile funnished the corresponding 3-spirocyclopropanated
β-lactams. The structures of one cycloadduct and a β-lactam
were proven by x-ray crystal structure analyses. Thus, this new method
funnishes compds. with a 5-azaspiro[2.3]hexan-4-one skeleton in 68-94%
overall yield in two simple steps, β-lactams were converted into
their N-acyl derivs. Heating of the β-lactams with tert-Bu glycinate
or tert-Bu (S)-phenylalaninate in DMF led to ring-opening of the
β-lactam moiety to give β-dipeptides or amide. Some
β-Lactams turned out not to be transformable into such peptide

products. 808770-86-7P

ΙT SUE: SPN (Synthetic preparation); PREP (Preparation) (preparation of cyclopropyl building blocks for the synthesis of 3-substituted \$\text{\$\text{\$-1}\$} actams via 1,3-dipolar cycloaddn. and ring

opening reactions)
808770-86-7 HCAPLUS
5-Azaspiro(2.3)hexane-4-carbonitrile, 5-(4-methoxybenzoyl)-6-oxo- (9CI)
(CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 49 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

HCAPLUS COPYRIGHT 2006 ACS on STN
2004:310829 HCAPLUS
140:303552
Preparation of β-amino acid derivatives as
inhibitors of matrix metalloproteases and TNF-α
Duan, Jingwu, King, Bryan W.; Decicco, Carl;
Maduskuie, Thomas P.; Voss, Mathew E.
USA
U.S. Pat. Appl. Publ., 150 pp.
CODEN: USXXCO
Patent
English
UNT: 1 L4 ANSWER 4 OF 20 ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------|------|----------|-----------------|----------|
| | | | | ~ |
| US 2004072802 | A1 | 20040415 | US 2002-267207 | 20021009 |
| IORITY APPLN. INFO.: | | | US 2002-267207 | 20021009 |

R SOURCE(S): MARPAT 140:303552

Novel B-amino acid derivs. A-CR3R4aCR2R4NRICO-X-2-Ua-Xa-Ya-Za [A = C02H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O) (OH)2, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Va is absent or O, NRal [Ral = H, (un)aubstituted alkyl, alkenyl or alkynyl: Ra and Ral may form

ring), CO, CO2, O2C, CONRal, S(O)p (p = 0-2), etc.; Ya is absent or O, NRal, S(O)p or CO: Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle: R1 is H, alkyl, Ph, benzyl; R2 is Q (g is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRal)rIO(CRaRal)r-Q (r, rl = 0-4), (CRaRal)rINRa(CRARAl)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRARAl)rINRa(CRARAl)r-Q1.

R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepared as metalloprotease and TNF-a inhibitors. Thus, N-hydroxy-1-([4-[2-methyl-4-quinolinyl)methoxyl)phenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester. 362697-32-39
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
 (preparation of β-amino acid derivs. as inhibitors of matrix
 metalloproteases and TNF-α)
362697-32-3 HCAPLUS
3-Azetidinecarboxamide, N-hydroxy-1-[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl)- (9CI) (CA INDEX NAME)

(Continued)

IT 362703-18-2P RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of β -amino acid derivs. as inhibitors of matrix metalloproteases and TNF-a) RN 362703-18-2 RCAPLUS CN 3-Azetidinecarboxylic acid, 1-[4-[(2-methyl-4-quinolinyl)]methoxy]benzoyl]-, methyl ester (9CI) (CA INDEX NAME)

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE PATENT NO.

WO 2004007444

WO 2004007444

W: AE, AG, AL,
CO, CR, CU,
GM, HR, HU,
LS, LT, LU,
PG, PH, PL,
TR, TT, TZ,
RW: GH, GM, KE,
EL, FR, GB,
BF, BJ, CF,
CA 2492035

EP 1539744

R: AT, BE, CH,
JP 2005536510

PRIORITY APPLN. INFO.: AZ 20040122
A3 20040910
AM, AT, AU, AZ,
CZ, DE, DK, DM,
ID, IL, IN, IS,
LV, MA, MD, MG,
PT, RO, RU, SC,
UA, UG, US, UZ,
LS, MM, MZ, SD,
RU, TJ, TM, AT,
GG, CI, CM, GA,
AA 20040115
A2 20050615
DE, DK, ES, FR,
LV, FI, RO, MK,
TZ 20051202 WO 2003-US21838 20030711 BA, BB, BG, BR, BY, BZ, CA, CH, CN, DZ, EC, EE, ES, FI, GB, GD, GE, GH, JP, KE, KG, KP, KR, KZ, LC, LK, LR, MK, MN, MM, MX, MZ, NI, NO, NZ, OM, SD, SE, SG, SK, SL, SY, TJ, TM, TN, VC, VN, YU, ZA, ZM, ZM
SL, SZ, TZ, UG, ZM, ZM, AM, AZ, BY, BE, BG, CH, CY, CZ, DE, DK, EE, ES, LU, MC, NL, PT, RO, SE, SI, SK, TR, GO, GO, GW, ML, MR, NE, SN, TD, TG
CA 2003-2492035

EP 2003-748939

COS03-748939

COS03-748939 WO 2003-US21838 W 20030711

OTHER SOURCE(S): MARPAT 140:111265 L4 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Title compds. I or II (wherein A = (hetero)aryl; X1-X4 = independently H, (halo)alkyl, (halo)alkylthio, (halo)alkylsulfinyl, (halo)alkylsulfonyl, hydroxy(alkyl), alkoxy(alkyl), haloalkoxy, alkenyl, alkenyloxy(alkyl), alkynyl(oxy), NO2, halo, cycloalkyl(alkyl), arylalkoxy(alkyl), alkynyl(alkyl), alkylsulylalkynyl, aryl, aminocarbonylalkyl, carboxylate, carboxy, carboxamido, or (un)substituted heterocyclyl; R1

R3 = independently H, (halo)alkyl, hydroxyalkyl, alkenyl, alkynyl, cycloalkyl, halo, OH, alkoxy, or (un)aubstituted (hetero)aryl or arylo R2 = H, (halo)alkyl, hydroxyalkyl, alkenyl, alkynyl, cycloalkyl, halo,

OH,

alkoxy, or (un)substituted (hetero)aryl or aryloxy; ? Z = CH2 or CO; and
pharmaceutically acceptable salts, tautomers, and prodrugs thereof) were
prepared as inhibitors of

UDP-3-O-(R-3-hydroxymyristoyl)-N-acetylglucosamine
deacetylase (LpxC deacetylase), an enzyme present in gram neg. bacteria
(no data). For example, azetidine-2R-cazboxylic acid Me ester
hydrochloride salt was coupled with 3,4-dimethoxy-5-propylbenzoic acid in
DMF to give the benzoylazetidinyl derivative (81%). The ester was
treated
with aqueous hydroxylamine in dioxane to afford III. Preferred compds.
of the

with aqueous hydroxylamine in dioxane to afford III. Preferred compds.

of the
invention have MIC ≤ 128 μg/mL against at least one of a
specified list of bacteria (no data). Thus, I, II, and their
pharmaceutical compns. are useful as antimicrobials and antibiotics (no
data).

IT 647856-14-2P, (R)-1-(3,5-Diallyl-4-methoxybenzoyl)azetidine-2carboxylic acid hydroxyamide 647856-15-3P, (R)-1-(4-Methoxy-3,5dipropylbenzoyl)azetidine-2-carboxylic acid hydroxyamide
647856-18-6P, (R)-1-(4-Methoxy-3-propylbenzoyl)azetidine-2carboxylic acid hydroxyamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
[antibacterial agent; preparation of azetidinecarboxylic acid and

ies) (antibacterial agent; preparation of azetidinecarboxylic acid and pyrrolidinecarboxylic acid N-hydroxyamide derivs. as antibacterial agents)

L4 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN RN 647856-14-2 HCAPLUS CN 2-Azetidinecarboxamide, N-hydroxy-1-(4-methoxy-3,5-di-2-propenylbenzoyl)-, (2R)- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

647856-15-3 HCAPLUS 2-Azetidinecarboxamide, N-hydroxy-1-(4-methoxy-3,5-dipropylbenzoyl)-, (2R)- (9C1) (CA INDEX NAME)

647856-18-6 HCAPLUS 22-Azetidinecarboxamide, N-hydroxy-1-(4-methoxy-3-propylbenzoyl)-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

647856-16-4, (R)-1-(3,5-Dially1-4-methoxybenzoyl)azetidine-2-carboxylic acid methyl ester

L4 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:887103 HCAPLUS
DOCUMENT NUMBER: 140:93653
TITLE: An Evaluation of Amide Group Planarity in
7-Azabicyclo[2.2.1]heptane Amides. Low Amide Bond
Rotation Barrier in Solution
Otani, Yuko: Nagae, Osamus Naruse, Yuji; Inagaki,
Satoshi: Ohno, Masashi: Yamaguchi, Kentaro; Yamamoto,
Gaku: Uchiyama, Masanobu: Ohwada, Tomohiko
CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, The
University of Tokyo, Bunkyo, Tokyo, 113-0033, Japan
Journal of the American Chemical Society (2003),
125(49), 1519-15199
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:93653
AB Here we show that amides of bicyclic 7-azabicyclo[2.2.1]heptane are
intrinsically nitrogen-pyramidal. Single-crystal X-ray diffraction
structures of some relevant bicyclic amides, including the prototype
N-benzoyl-7-azabicyclo[2.2.1]heptane, exhibited nitrogen-pyramidalization
in the solid state. We evaluated the rotational barriers about the amide
bonds of various N-benzoyl-7-azabicyclo[2.2.1]heptanes in solution The
Observed
reduction of the rotational barriers of the bicyclic amides, as compared
with

those of the monocyclic pyrrolidine amides, is consistent with a nitrogen-pyramidal structure of 7-azabicyclo[2.2.1]heptane amides in

nitrogen-pyramidal Structure or **azzoicy.to[...] impresses united -...
solution
A good correlation was found between the magnitudes of the rotational barrier of N-benzoyl-7-azabicyclo[2.2.1] heptanes bearing
para-substituents
on the benzoyl group and the Hammett's op+ consts., and this is consistent with the similarity of the solution structures. Calcus. with

d. functional theory reproduced the nitrogen-pyramidal structures of

d. functional theory reproduces the interpolar of these bicyclic amides as energy min. The calculated magnitudes of electron delocalization from the nitrogen nonbonding nN orbital to the carbonyl π* orbital of the amide group evaluated by application of the bond model theory correlated well with the rotational barriers of a variety of amides, including amides of 7-azabicyclo[2.2.1]heptane. The nonplenarity of the amide nitrogen of 7-azabicyclo[2.2.1]heptanes would be derived from

nitrogen-pyramidalization due to the CNC angle strain and twisting of the amide bond due to the allylic strain.

RL: PEP (Physical, engineering or chemical process); PRP (Properties);

(Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC

(evaluation of amide group planarity in azabicycloheptane amides) 643026-89-5 HCAPLUS Azetidine, 1-(4-methoxybenzoyl)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: RCT (Reactant): RACT (Reactant or reagent)
(prepn. of azetidinecarboxylic acid and pyrrolidinecarboxylic acid
N-hydroxyamide derivs. as antibacterial agents)
647856-16-4 ECAPLUS
2-Azetidinecarboxylic acid, 1-(4-methoxy-3,5-di-2-propenylbenzoyl)-,
methyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN

THERE ARE 72 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:713343 HCAPLUS
DOCUMENT NUMBER: 135:272894

ITITLE: 372894

INVENTOR(S): Duan, Jingwir King, Bryan W.; Decicco, Carl; Maduskule, Thomas P., Jr.; Voss, Matthew E.

DUAN Jingwir King, Bryan W.; Decicco, Carl; Maduskule, Thomas P., Jr.; Voss, Matthew E.

DUCOLENT TYPE: Duan, Lount: 12000 Pharmaceuticals Company, USA
CODEN: PIXXD2

DOCUMENT TYPE: Patent
English
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | PA: | TENT | NO. | | | KIN | D | DATE | | i | APP | LICAT | ION | NO. | | D | ATE | |
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| | MO | 2001 | 0707 | 34 | | 7.2 | | 2002 | 0327 | | | 2001- | 0303 | 30 | | - | 0010 | 313 |
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| | וומ | 2001 | 0508 | 50 | | Δ5 | | 2001 | 1003 | | 114 | 2001- | 5085 | 0 | | 2 | 0010 | 315 |
| | FD | 1263 | 756 | 50 | | Δ2 | | 2002 | 1211 | - 1 | ED S | 2001- 2001- 2001- | 9241 | Ž1 | | , | 0010 | 315 |
| | ED | 1263 | 756 | | | B1 | | 2004 | 0225 | | | | ,,,, | | | - | **** | |
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| | .10 | 2001 | 5280 | 97 | | 72 | | 2003 | 0924 | | .TP : | 2001- | 5689 | 35 | | 5 | 0010 | 315 |
| | DΤ | 2602 | 72 | | | E | | 2004 | 0315 | | AT : | 2001- | 9241 | 71 | | 2 | 0010 | 315 |
| | NZ | 5212 | 45 | | | Ã | | 2004 | 0430 | - 1 | N2 : | 2001- | 5212 | 45 | | 2 | 0010 | 315 |
| | ES | 2215 | 893 | | | т3 | | 2004 | 1016 | | ES : | 2001- | 1924 | 171 | | 2 | 0010 | 315 |
| | US | 2002 | 0133 | 41 | | Al | | 2002 | 0131 | i | us : | 2001- | 8111 | 16 | | 2 | 0010 | 316 |
| | us | 6495 | 565 | | | B2 | | 2002 | 1217 | | | | | | | - | | |
| | нк | 1049 | 334 | | | Al | | 2004 | 0716 | | нк : | 2003- 2000- | 1014 | 37 | | 2 | 0030 | 226 |
| RIO | RIT | APP | LN. | INFO | . : | | | | | | US 2 | 2000- | 1901 | 83P | | P 2 | 0000 | 317 |
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| | | | | | | | | | | 1 | wo : | 2001- | US83 | 36 | 1 | W 2 | 0010 | 315 |

OTHER SOURCE(S): MARPAT 135:272894

AB Novel B-amino acid derivs. A-CR3R4aCRZ4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)Z, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRal [Ral = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ral may form

ring], CO, CO2, O2C, CONRal, S(O)p (p = 0-2), etc.; Ya is absent or O, NRal, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted

L4 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) carbocycle or heterocycle), alkylene-Q, (CRARAl)rIO(CRARAl)r-Q (r, rl = 0-4), (CRARAl)rINA(CRARAl)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRARAl)rIO(CRARAl)r-Q1, (CRARAl)rINRa(CRARAl)r-Q1,

R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provises) or a stereoisomer or pharmaceutically acceptable salt were prepd. as metalloprotease and TNF-u inhibitors. Thus, N-hydroxy1-[4-{2-methyl-4-quinolinyl)methoxylphenyl|acetyl]-3-azetidinecarboxamide was prepd. by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethoxoxylphenylacetate, 3-azetidinecarboxylic acid Me ester.

IT 362703-18-2P
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(preparation of β-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-α)
RN 362703-18-2 RCAPLUS
CN 3-Azetidinecarboxylic acid,
1-{4-{2-methyl-4-quinolinyl}methoxy|benzoyl}, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:210150 HCAPLUS
132:251067
TITLE: 132:251067
Novel amidine derivatives, their preparation and application as inhibitors of NO synthase and lipid peroxidation, and pharmaceutical compositions containing them
INVENTOR(S): Auvin, Serge; Chabrier de Lassauniere,

Harnett, Jeremiah; Pons, Dominique; Ulibarri, Gerard Societe de Consells de Recherches et d'Applications Scientifiques (S.C.R.A.S. Fr. PCT Int. Appl., 119 pp. CODEN: PIXXD2 Patent French PATENT ASSIGNEE(S):

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| WC 2000017190 | W: AE, AL, AM, AT, AU, AZ, BA, BB, BC, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SI, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RY: GH, GM, KE, IS, MM, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CG, CI, CM, GA, GN, GW, MI, MR, NE, SN, TD, TG FR 2783519 B1 20030124 FR 1998-11868 1998018 A2 20010740 AU 1959-56314 1999018 R9 5913904 A 200107103 BR 1959-13904 1999018 P1 1115719 B1 20030305 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, MC, FR, CR, CR, CR, CR, CR, CR, CR, CR, CR, C | PAT | ENT | NO. | | | KIN | D | DATE | | | APP | LI | CAT | ION | NO. | | | ATE | _ |
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| IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MG, MK, MM, MM, MM, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, RW: GH, GM, KE, LS, MM, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CR, 2783519 B1 20030124 CA 2344224 A1 20030124 A1 20000410 A1 1999-2344224 19996 A2 2003016 A2 2003016 B3 9913904 A2 20010716 B2 P1 1999-13904 19996 B1 B2 20031016 B3 9913904 A2 20010716 B2 P1 1999-943024 19996 B2 1115719 B1 20030305 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE, SI, LT, LV, FI, RO JP 2002526493 T2 20020820 JP 2000-574099 19996 A1 2003061 B2 A1 2003061 B2 A1 2003061 B3 A1 2003061 B2 A1 2003061 B3 | IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MG, MK, MN, MN, MN, MX, NO, N2, PL, PT, RO, RU, SD, SE, SG, SI, SI, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SI, SZ, TZ, UG, ZW, AT, BE, CH, CY, DK, ES, FI, FR, GB, GR, IE, LT, LU, MC, NL, PT, SE, BF, BJ, CG, CI, CM, GA, GN, GW, ML, MR, RE, SN, TD, TG FR 2783519 A1 20000324 FR 1998-11868 19980; FR 2783531 A1 20000320 CA 1999-2344224 19990; AU 39556314 A1 20000310 AU 1999-56314 19990; AU 3956314 A1 20000310 BR 1999-13904 19990; BR 3913904 A 20010703 BR 1999-13904 19990; EP 1115719 B1 20030305 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE, SI, LT, LV, FI, RO JP 2002526493 T2 20020820 JP 2000-574099 19990; R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE, FI, CY PT 1115719 T 20030315 AT 1999-943024 19990; R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE, FI, CY PT 1115719 T 20030315 AT 1999-943024 19990; R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE, FI, CY PT 1115719 T 20030305 PT 1999-943024 19990; ES 2194501 T3 2003116 ES 1999-141998 19990; ES 2194501 T3 2003116 ES 1999-141998 19990; ES 2194501 T3 2003116 ES 1999-141998 19990; ES 2194501 T3 20031625 US 2001-1479 20010. EN 2002661269 A1 20050225 HX 2002-103892 200200; EXTYY APPLN. INFO: FR T998-11868 A 19980; | | W: | AE. | AL. | AM, | AT. | AU, | AZ, | BA. | BB, | BG | | BR, | BY, | CA, | CH, | CN, | CR, | |
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| DK. ES, FI, FR. GB. GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG FR 2783519 FR 27835118 FR 2783519 FR 27835118 FR 2783519 FR 27835118 FR 278 | DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CG, CI, CM, GM, GN, GW, ML, MR, NE, SN, TD, TG FR 2783519 A1 20000324 CR 2344224 AA 20000330 CA 1999-2344224 19990: AU 9956314 A1 20000410 AU 1999-56314 19990: BR 9913904 A 20010703 BR 1999-13904 19990: EP 1115719 B1 20030305 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE, SI, LT, LV, FI RO JP 2002526493 T2 20020820 JP 2000-574099 19990: BF 1318149 A1 20030315 AT 1999-943024 19990: BF 1318149 A1 20030611 EP 2002-26170 19990: FF AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE, FI, CY FT 1115719 T2 20020820 JP 2000-574099 19990: BF 1318149 A1 20030611 EP 2002-26170 19990: BF 1318149 A1 20030611 EP 2002-26170 19990: BF 131819 A 20030926 NZ 1999-51189 19990: BS 2194501 T3 20031116 ES 1999-943024 19990: BS 2194501 T3 20031116 ES 1999-943024 19990: BS 2194501 T3 20031116 ES 1999-943024 19990: BS 414998 A1 20050925 IL 1999-141998 19990: BS 6553312 B1 20031125 US 2001-1679 20010. BN 2001001479 A 20010518 NO 2001-1679 20010. BK 1042486 A1 20050925 HK 2002-103892 20020. BK 1042486 A1 20050225 HK 2002-103892 20020. BK 1042486 A1 20050124 FR 1998-11868 A 19980. | | | SL, | TJ, | TM. | TR, | TT, | TZ, | UA, | UG, | US | , | UZ, | VN, | YU, | ZA, | ZW | | |
| CG, CI, CM, GA, GN, GW, ML, NR, NE, SN, TD, TG FR 2783519 FR 2783519 BB 20030124 CA 2344224 AA 20000330 CA 1999-2344224 1999(AU 9956314 AI 20000410 AU 1999-56314 1999(BR 9913904 A 20010718 BR 9913904 A 20010718 BR 9913904 A 20010718 BR 1999-943024 1999(BR 115719 BI 20030305 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, ES, ES, ES, ES, ES, ES, ES, ES, ES, ES | CG, CI, CM, GA, GN, W, ML, MR, NE, SN, TD, TG FR 2783519 B1 20030124 FR 1998-11868 199801 FR 2783519 B1 20030124 FR 1998-11868 199801 FR 2783519 B1 20030124 FR 1998-11868 199801 AU 9956314 A1 20000410 AU 1999-56314 199901 AU 766373 B2 20031016 BR 9913904 A 20010703 BR 1999-13904 199901 EP 1115719 A2 20010718 EP 1999-943024 199901 FF 1115719 B1 20030305 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, JP 2002-526493 T2 20020820 JP 2007-574099 199901 BY 1002-526493 T2 20020820 JP 2007-574099 199901 BY AT 233750 E 20030315 AT 1999-943024 199901 BY AT 233750 E 20030315 AT 1999-943024 199901 BY AT 281750 B1 2003031 B1 2003-574099 199901 BY AT 281750 B1 2003031 B1 2003-574099 199901 BY AT 28180 B1 2003031 B1 2003-574099 199901 BY AT 28180 B1 20030731 B1 1999-943024 199901 BY S 19180 B1 20030731 B1 1999-943024 199901 BY 2318939 C2 20040072 RV 1999-9511199 199901 BY 41998 B1 20031116 ES 1999-943024 199901 BY 41998 B1 20031116 ES 1999-141998 199901 BY 41998 B1 20031125 US 2001-1479 200100 BY 4002466 A1 2005025 HX 2002-103892 200201 BY 2003261269 A1 2005025 HX 2002-103892 200201 BY 2003261269 A1 20050124 FR 1998-11868 A 199801 | | RW: | | | | | | | | | | | | | | | | | |
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| IL 141998 A1 20050925 IL 1999-141998 1999(US 6653312 B1 20031125 US 2001-787467 2001(NO 2001001479 A 20010518 NO 2001-1479 2001(CA 2001003204 A 20020919 ZA 2001-3204 2001(HK 1042486 A1 20050225 HK 2002-103892 2002(US 2005261269 A1 20051124 US 2003-662183 2003(RITY APPLIN. INFO:: FR 1998-11868 A 1998(| US 6653312 B1 20031125 US 2001-787467 20010. NO 2001001479 A 20010518 NO 2001-1479 20010. ZA 2001003204 A 20020919 ZA 2001-3204 20010. HK 1042486 A1 20050225 HK 2002-103892 20020. US 2005261269 A1 20051124 US 2003-662183 20030. KITY APPLN. INFO: FR 1998-11868 A 19980. | RU | 2238 | 939 | | | C2 | | 2004 | 1027 | | RŲ | 20 | 01- | 1110 | 22 | | 1 | 19990 | 9 |
| US 6653312 B1 20031125 US 2001-787467 2001(NO 2001001479 A 20010518 NO 2001-1479 2001(ZA 2001003204 A 20020919 ZA 2001-3204 2001(HK 1042486 A1 20050225 HK 2002-103892 2002(US 2005261269 A1 20051124 US 2003-662183 2003(RITY APPLN. INFO:: FR 1998-11868 A 1998(| | ΙL | 1419 | 98 | | | A1 | | 2005 | 0925 | | ΙL | 19 | 99- | 1419 | 98 | | 3 | 19990 | 9 |
| NO 2001001479 A 20010518 NO 2001-1479 2001/ ZA 20010031204 A 20020919 ZA 2001-3204 2001/ HK 1042486 A1 20050225 HK 2002-103892 2002/ US 2005261269 A1 20051124 US 2003-662183 2003/ RITY APPLIN. INFO:: FR 1998-11868 A 1998(| | US | 6653 | 312 | | | В1 | | 2003 | 1125 | | US | 20 | 01- | 7874 | 67 | | - 2 | 20010 | 3 |
| ZA 2001003204 A 20020919 ZA 2001-3204 2001/ HK 1042486 Al 20050225 HK 2002-103892 2002/ US 2005261269 Al 20051124 US 2003-662183 2003/ RITY APPLN. INFO.: FR 1998-11868 A 1998/ | | NO | 2001 | 0014 | 79 | | A | | 2001 | 0518 | | NO | 20 | 01- | 1479 | | | - 2 | 20010 | 3 |
| HK 1042486 A1 20050225 HK 2002-103892 2002(US 2005261269 A1 20051124 US 2003-662183 2003(RITY APPLN. INFO.: FR 1998-11868 A 1998(| | ZA | 2001 | 0032 | 04 | | A | | 2002 | 0919 | | ZA | 20 | 01- | 3204 | | | - 1 | 20010 | 4 |
| US 2005261269 A1 20051124 US 2003-662183 20036 RITY APPLN. INFO.: FR 1998-11868 A 19980 | | нк | 1042 | 486 | | | A1 | | 2005 | 0225 | | HK | 20 | 02- | 1038 | 92 | | - 4 | 20020 | 5 |
| RITY APPLN. INFO.: FR 1998-11868 A 1998 | | US | 2005 | 2612 | 69 | | A1 | | 2005 | 1124 | | US | 20 | 03- | 6621 | 83 | | . 3 | 20030 | 9 |
| | | (TIF | APP | LN. | INFO | . : | | | | | | FR | 19 | 98- | 1186 | 8 | | A : | 19980 | 9 |

L4 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN WO 1999-FR2250 (Continued) W 19990922

> US 2001-787467 A3 20010316

OTHER SOURCE(S):

MARPAT 132:251067

$$A-X-Y-Z$$
 R
 B
 NH_2

AB The invention concerns novel amidine derivs., including compds. I [R = H, alkyl, alkoxy: A = certain substituted aryl or (un)substituted heteroaryl groups; B = alkyl, (un)substituted aryl or heteroaryl, (un)substituted or heterocyclic amino; X = bond, (CR2)m, O(CR2)m, (CR2)mO, CH:CH, etc.; Y = bond, (CR2)n, (CR2)rQ(CR2)s: Q = piperazine, homopiperazine, piperidine, pyrrolidine, azetidine, thiazolidine, saturated

C3-7 carbocycles, etc; Z = bond, (CR2)pQ(CR2)q, (CR2)pS(CR2)q, (CR2)pNH(CR2)q, etc; m, n, p, q, r, s = 0-6], as well as addml. specific compds. In particular, 2-hydroxy-5-methoxy-N-(2-(4-(2-thienyliminomethyl)amino)phenyl]ethyl]benzamide (II) and 2,5-dihydroxy-N-[2-(4-(2-thienyliminomethyl)amino)phenyl]ethyl]benzamide are disclosed. Also disclosed are the use of I as medicines, and pharmaceutical compns. containing them. For instance, amidation of 5-methoxysalicylic acid with 4-nitrophenethylamine-HCI, followed by hydrogenation of the nitro group to amino, condensation of the amine with S-methyl-2-thiophenethylamide-HI, and acidification in acetone, gave

II.HC1. The IC50 of selected I, including II.HC1, against rat neuronal

synthase in vitro, was < 3.5 μM. 262614-42-6P 262614-43-7P

L4 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1997:613831 HCAPLUS DOCUMENT NUMBER: 127:278203

127:278203
Benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists Bock, Mark G.; Evans, Ben E.; Williams, Peter D.; Freidinger, Roger M.; Pettibone, Douglas J.; Hobbs, Doug W.; Anderson, Paul S. Merck and Co., Inc., USA
U.S., 140 pp., Cont.-in-part of U.S. Ser. No. 92,840, abandoned.
CODEN: USXXXAM Patent INVENTOR(S):

PATENT ASSIGNEE(S):

Patent English 2 DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. DATE APPLICATION NO. DATE KIND 1995-470693 1993-92840 19950606 B2 19930716 US 5665719 PRIORITY APPLN. INFO.: 19970909

OTHER SOURCE(S):

MARPAT 127:278203

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Compds. of formula I $\{X = 0, NH, or NR8; Y = CH2, CHR8, or C(R8)2; R1 = camphor-10-y1, alkoxy, styry1, hydroxystyry1, fury1, (un)substituted thieny1, naphthy1, indoly1, tetrahydronaphthy1, (un)substituted pyridy1, pyraziny1, (un)substituted cyclohexy1 or Ph: R2 = H, alkoxy, alky1,$

olumination), alkylcarbonylamino, nitro, or halo: R3 = H, alkoxycarbonyl, cyano, or carbamoyl: and m = 0 or 1] and various analogs are disclosed. The

as useful as oxytocin (OT) and vasopressin receptor antagonists. Over

synthetic examples are given. For instance, Me 2,4-dihydroxybenzoate underwent Mitsunobu etherification with N-(tert-butoxycarbonyl)-4-piperidinol (51%), followed by O-methylation of the remaining hydroxyl (88%), saponification of the Me ester (95%), and coupling of the resultant acid with 1-(4-piperidinyl)-1,2-dihydro-4H-3,1-benzoxazin-2-one (HCl salt) using EDC and HOBt (88%), to give title compound II [R = CO2Bu-tert].

latter was deprotected with HCl in dioxane (93%) and acetylated with Ac20 (89%) to give title compound II [R=Ac]. The latter inhibited binding

[3H]-OT to rat uterine OT receptors in vitro with an IC50 of 47 nM.
162045-63-8P 162045-66-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
[intermediate; preparation of benzoxazinone and benzopyrimidinone

derivs. as oxytocin and vasopressin receptor antagonists)
RN 162045-63-8 RCAPIUS
CN 3-Azetidinamine, 1-(2,4-dimethoxybenzoyl)-N-(2-(hydroxymethyl)phenyl)-

02/03/2006

262614-43-7 HCAPLUS
Azetidine, 3-(4-aminophenyl)-1-(2-hydroxy-4,6-dimethoxybenzoyl)- (9CI)
(CA INDEX NAME)

ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (9CI) (CA INDEX NAME)

162045-66-1 HCAPLUS
Benzenebutanoic acid, 2-[(1-(2,4-dimethoxybenzoyl)-3-azetidinyl]amino]-,
methyl ester (9CI) (CA INDEX NAME)

IT 162042-77-5F 162042-79-7F
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Usea) (preparation of benzoxazinone and benzopyrimidinone derivs. as oxytocin and vasopressin receptor antagonists)
RN 162042-77-5 HCAPLUS
CN Azetidine, 1-(2,4-dimethoxybenzoyl)-3-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-(9CI) (CA INDEX NAME)

162042-79-7 HCAPLUS
Azetidine, 1-(2,4-dimethoxybenzoy1)-3-(2,3,4,5-tetrahydro-2-oxo-1H-1-benzazejn-1-yi)- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:513507 HCAPLUS
DOCUMENT NUMBER: 125:131668
2-Azetidinone Cholesterol Absorption Inhibitors:
Structure-Activity Relationships on the Heterocyclic Nucleus

AUTHOR (S):

Nucleus Clader, John W.; Burnett, Duane A.; Caplen, Mary Ann; Domalski, Martin S.; Dugar, Sundeep; Vaccaro, Wayne; Sher, Rosy; Browne, Margaret E.; Zhao, Hongrong; et al,

al. Schering-Plough Research Institute, Kenilworth, NJ, 07033-0539, USA Journal of Medicinal Chemistry (1996), 39(19), 3684-369 CORPORATE SOURCE:

SOURCE:

CODEN: JMCMAR; ISSN: 0022-2623 American Chemical Society

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

MENT TYPE: Journal UMGE: English A series of azetidinone cholesterol absorption inhibitors related to SCH 48461 was prepared, and evaluated for their ability to inhibit hepatic cholesteryl ester formation in a cholesterol-fed hamster model. Although originally designed as acyl CoA:cholesterol acyltransferase (ACAT) inhibitors, comparison of in vivo potency with in vitro activity in a microsomal ACAT assay indicates no correlation between activity in these

models. The mol. mechanism by which these compds. inhibit cholesterol absorption is unknown. Despite this limitation, examination of the in

activity of a range of compds. has revealed clear structure-activity relationships consistent with a well-defined mol. target. The details of these structure-activity relationships and their implications on the nature of the putative pharmacophore are discussed.

179763-35-0P

IT 179763-35-0P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-activity relations of azetidinone cholesterol absorption inhibitors)
RN 179763-35-0 HCAPIUS
CN 2-Azetidinone,
1-(4-methoxybenzoyl)-4-(4-methoxyphenyl)-3-(3-phenylpropyl), trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1995:954289 HCAPLUS DOCUMENT NUMBER: 124:116909 TITLE: Simple -- '

AUTHOR (S):

CORPORATE SOURCE:

124.116909
Simple and condensed β-lactams. Part 23.
Synthesis of some compounds related to the monobactams, carrying non-acylamino substituents in position 3 and various heterocyclyl or heterocyclylmethyl substituents in position 4 of the β-lactam ring
Fetter, Jozsef: Bertha, Ferenc: Czuppon, Tibor;
Kajtar-Peredy, Maria; Lempert, Karoly
Dep. Org. Chem., Tech. Univ. Budapest, Budapest, H-1521, Hung.
Journal of Chemical Research, Synopses (1995), (11), 444-5
CODEN: JRPSDC; ISSN: 0308-2342 SOURCE:

444-5 CODEN: JRPSDC; ISSN: 0308-2342 Royal Society of Chemistry Journal English CASREACT 124:116909

PUBLISHER: DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Thirteen new racemic monobactams, I (trans or cis, M = Na, H; Rl = alkyl, substituted alkyl: R2 = Me, NH2, NHCHO), II, III, IV (cis or trans, R3 = tt, ClMe2), V and VI, carrying non-acylamino substituents in position 3, and heterocyclyl or heterocyclylmethyl substituents in position 4 of the β-lactam ring, as well as 'teversed' monobactam analog, were synthesized. None of the prepared compds. exhibited any microbiol. activity.

172698-00-9P

172698-00-99
RE: BYP (Byproduct); PREP (Preparation)
{synthesis of some compds. related to the monobactams and their antimicrobiol. activity)
172698-00-9 HCAPIUS
2-Azetidinone, 1-(2,4-dimethoxybenzoyl)-3-ethyl-4-{(4-methyl-2-thiazolyl)methyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Page 11 02/03/2006

L4 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:470323 HCAPLUS
DOCUMENT NUMBER: 123:276051
ITITLE: Benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists
Bock, Mark G.; Evans, Ben E.; Hobbs, Doug W.; Williams, Peter D.; Anderson, Paul S.; Freidinger, Roger M.; Pettlbone, Douglas J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 385 pp.
COODE: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

DOCUMENT TYPE: English 2

FAMILY ACC. NUM. COUNT:

| | TENT | | | | | | | | | | | | | | | ATE | |
|---------|------|------|------|-----|-----|-----|------|------|-----|------|-------|-------------|-----|-----|-----|------|-----|
| | | | | | | | | | | | | | | | | | |
| WO | 9502 | 405 | | | A1 | | 1995 | 0126 | | WO 1 | 1994- | US77 | 84 | | 1 | 9940 | 714 |
| | W: | AM, | ΑU, | BB, | BG, | BR, | BY, | CA, | CN, | CZ, | FI, | GΕ, | ΗU, | JP, | ΚE, | KG, | KR, |
| | | ΚZ, | LK, | LT, | LV, | MD, | MG, | MN, | MW, | NO, | NZ, | PL, | RO, | RU, | SD, | SI, | SK, |
| | | TJ, | TT, | UA, | US, | UZ | | | | | | | | | | | |
| | RW: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, |
| | | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | ML, | MR, | NE, | SN, | TD, | TG | | |
| CA | 2166 | 975 | | | AA | | 1995 | 0126 | | CA 1 | 1994- | 2166 | 975 | | 1 | 9940 | 714 |
| CA | 2166 | 975 | | | C | | 2005 | 0405 | | | | | | | | | |
| AU | 9475 | 132 | | | A1 | | 1995 | 0213 | | AU 1 | 994- | 7513 | 2 | | 1 | 9940 | 714 |
| UA | 6918 | 29 | | | B2 | | 1998 | 0528 | | | | | | | | | |
| EP | 7142 | 99 | | | A1 | | 1996 | 0605 | | EP 1 | 994- | 9250 | 92 | | 1 | 9940 | 714 |
| EP | 7142 | 99 | | | В1 | | 2002 | 0424 | | | | | | | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IE, | IT, | LI, | LU, | NL, | PT, | SE |
| JP | 0950 | 0134 | | | Т2 | | 1997 | 0107 | | JP 1 | 1994- | 5046 | 56 | | 1 | 9940 | 714 |
| AT | 2165 | 80 | | | E | | 2002 | 0515 | | | | | | | | | |
| RIORITY | APP | LN. | INFO | .: | | | | | | US 1 | 1993- | 9284 | 0 | 1 | A 1 | 9930 | 716 |
| | | | | | | | | | | WO 1 | 1994- | US77 | 84 | , | w 1 | 9940 | 714 |

OTHER SOURCE(S):

MARPAT 123:276051

ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN

17

162045-63-8P 162045-66-1P
RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists)
162045-63-8 HCAPLUS
3-Azetidinamine, 1-(2,4-dimethoxybenzoyl)-N-(2-(hydroxymethyl)phenyl]-(9CI) (CA INDEX NAME)

162045-66-1 HCAPLUS
Benzenebutanoic ecid, 2-[[1-(2,4-dimethoxybenzoyl])-3-azetidinyl]amino]-,
methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Fused N-containing heterocyclic ring system derivs, I (A completes a 5-

6-membered carbocyclic or N- and/or S-containing heterocyclic ring; X =

,(CH2)qO, CH2NH, OCH2, CH:CH, S, etc.; Y = CH2, C:O, C:S, C:NH, C:NMe; B = (substituted) N-containing heterocyclic or heterobicyclic ring; W = CH2,

CO2, SO2, C(:NCH2Ph), etc.; R1 = (hetero)aryl, C1-5 alkoxy,

CO2, SO2, C(:NCH2Ph), etc.; R1 = (hetero)aryl, C1-5 alkoxy, camphor-10-yl] are useful as oxytocin and vasopressin receptor antagonists, e.g in treatment of preterm labor and dysmenorrhea and in stopping labor preparatory to cesarean delivery. Thus, in competitive radioligand binding assays on rat uterus membrane prepns., high-affinity binding of oxytocin-3H was inhibited by 1-[1-[4-[1-[dichtylaminoethyl]sulfonyl]-4-piperidinyloxyl-2-methoxybenzoyl]piperidin-4-yl]-1,2-dihydro-4H-3,1-benzoxazin-2-one (II) with an IC50 of 23 nM. II was prepared in 7 steps from Me 2,4-dihydroxybenzoate, N-tert-butyloxy-4-piperidinol, 1-[4-piperidinyl]-1,2-dihydro-4H-3,1-benzoxazin-2-one-HC1 (preparation given),

ClCH2CH2SO2Cl, and HNEt2. Preparation of 277 compds. of formula I is

described. 162042-77-5P 162042-79-7P

RL: BAC (Biological activity or effector, except adverse); BSU

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists)
RN 162042-77-5 HCAPLUS
CN Azetfüdine, 1-(2,4-dimethoxybenzoyl)-3-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-(9CI) (CA INDEX NAME)

162042-79-7 HCAPLUS
AZETIGHTH, 1-(2,4-dimethoxybenzoyl)-3-(2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-1-yl)-(9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:44917 HCAPLUS

DOCUMENT NUMBER: 122:56244

TOPLISS approach to the synthesis of biologically active substituted N-benzoyl taxol analogs

Georg, Gunda I.; Boge, Thomas C.; Cheruvallath, Zacharia S.; Harriman, Geraldine C. B.; Hepperle, Michael; Park, Haeil; Himes, Richard H.

CORPORATE SOURCE: Dep. Med. Chem., Univ. Kansas, Lawrence, KS, 66045, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(15), 1825-30

CODEN: BMCLEB: ISSN: 0960-894X

DOCUMENT TYPE: Journal

LANGUAGE: English

DOCUMENT TYPE: LANGUAGE: GI

AB A series of compds., e.g. I (R = Cl, MeO, 3,4-Cl2, Me2N, NO2, etc.), directed by the Topliss Operational Scheme, were synthesized and evaluated

to investigate structure activity relationships of the N-benzoyl moiety

taxol. Evaluation of the newly prepared derivs. in the microtubule

taxol. Evaluation of the newly prepared disconnections assembly assay and for cytotoxicity revealed that they possessed biol. properties similar to taxol.

IT 160058-87-7P
RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with triethylsilylbaccatin III in synthesis of

taxol analogs)
160058-87-7 HCAPLUS
2-Azetidinone, 3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-(4-methoxybenzoyl)-4-phenyl-, (3R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

| | | | | | | COPYRIGHT | | | STN | | |
|----------|------------|-----|-----|------|------|--|-------|----------|----------|------|------------|
| ACCESSIO | N NUMBER: | | | 1993 | 3:5 | 39574 HC | APLUS | | | | |
| DOCUMENT | NUMBER: | | | 119: | : 13 | 9574 | | | | | |
| TITLE: | | | | Pres | oara | ation of | subst | ituted | isoserin | e es | ters using |
| | | | | meta | 1 6 | alkoxides , Robert i a State U | and | (beta) - | lactams | | - |
| INVENTOR | (S): | | | Holt | ton. | . Robert | Α. | | | | |
| DATENT I | SSIGNEE (S | | | Flor | rid | State II | niver | eity T | 421 | | |
| SOURCE: | | .,. | | PCT | In | t. Appl., | 92 n | 540j, c | | | |
| SOURCE. | | | | | | PIXXD2 | UL P | ρ. | | | |
| DOCUMENT | myne. | | | Pate | | | | | | | |
| LANGUAGE | | | | Engl | | | | | | | |
| | CC. NUM. | ~~ | | | 115 | | | | | | |
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| PAT | ENT NO. | | | KINI | , | DATE | А | PPLICA1 | ION NO. | | DATE |
| | | | | | | | | | | | |
| WO | 9306079 | | | A1 | | 19930401 | W | 0 1992- | US7990 | | 19920922 |
| | | | | | | , JP, KP, | | | | | |
| | | | | | | ES, FR, | | | | MC - | NL. SE |
| C D | 2221190 | | | | | | | | | | 19920902 |
| | 9206827 | | | Ā | | 20020212 19930315 19930315 19930514 19930520 19930324 19930324 19930427 19940331 19940713 | , | A 1992- | 6827 | | 19920908 |
| | 9206828 | | | ~ | | 10020315 | - | n 1992- | 6020 | | 19920908 |
| | 9206829 | | | ς. | | 10020215 | | n 1002 | 6020 | | 19920908 |
| | 9207038 | | | ~ | | 10030514 | | M 1772" | 7029 | | 19920915 |
| | | | | ^ | | 19930314 | - | M 1992 | 7030 | | 19920915 |
| | 9207039 | | | A | | 19931220 | Z | A 1992- | 7039 | | |
| | 2098478 | | | AA | | 19930324 | c | A 1992- | 2098478 | | 19920922 |
| | 2098478 | | | C | | 19990914 | _ | | | | |
| | 9226890 | | | A1 | | 19930427 | А | U 1992- | 26890 | | 19920922 |
| | 647971 | | | B2 | | 19940331 | | | | | |
| | 605637 | | | | | | | P 1992- | 921316 | | 19920922 |
| EP | 605637 | | | | | 19990324 | | | | | |
| | | | | | | | | | | | MC, NL, SE |
| | 07502983 | | | T2 | | 19950330 | J | P 1993- | 506299 | | 19920922 |
| | 3469237 | | | В2 | | 20031125 19960228 19981216 20020502 | | | | | |
| | 71795 | | | A2 | | 19960228 | н | U 1994- | -830 | | 19920922 |
| EP | 884314 | | | A2 | | 19981216 | E | P 1998- | 114788 | | 19920922 |
| EP | 884314 | | | A3 | | 20020502 | | | | | |
| EP | 884314 | | | B1 | | 20040121 | | | | | |
| | R: AT, | BE, | CH, | DE, | DK | , ES, FR, | GB, | GR, IT, | LI, LU, | NL, | SE, MC, IE |
| RU | 2128654 | | | Cl | | 19990410 | R | U 1994- | 44324 | | 19920922 |
| | 178060 | | | E. | | 19990415 | А | T 1992- | 921316 | | 19920922 |
| | 2132129 | | | Т3 | | 19990816 20001115 20010117 | E | s 1992- | 921316 | | 19920922 |
| | 287417 | | | В6 | | 20001115 | c | 2 1994- | 660 | | 19920922 |
| | 287609 | | | B6 | | 20010117 | c | Z 1994- | 661 | | 19920922 |
| | 1193252 | | | A2 | | 20020403 | | P 2002- | 688 | | 19920922 |
| | 1193252 | | | A3 | | 20031105 | | | • • • | | |
| | | DF | CH | | | | | CD IT | | MT | SE, MC, IE |
| Ch | 2254273 | | | Č, | | | | | | | 19920922 |
| | 258171 | | | | | 20040215 | n. | T 1008- | 114788 | | 10020022 |
| | 2214665 | | | T 2 | | 20040213 | | c 100° | 114799 | | 19920922 |
| | 9339838 | | | 23 | | 10070910 | | 3 1990° | 774,00 | | 19930527 |
| | 642392 | | | WI | | 10031014 | - 4 | O 1333- | 33030 | | 19930327 |
| | | | | 203 | | 10040504 | _ | T 100* | 1225 | | 10040222 |
| | 9401325 | | | A. | | 1990000 | F | 1 1334- | 1323 | | 19940322 |
| | 113046 | | | В1 | | 20040916 19930819 19931014 19940504 20040227 19940520 19991004 | | | 1000 | | 10040000 |
| | 9401022 | | | A | | 19940520 | N | 0 1994- | 1022 | | 19940322 |
| NO | 306209 | | | 81 | | 19991004 | | | | | |
| | | | | | | | | | | | |

| L4 | ANSWER 14 OF 20 | HCAPLUS | | | ACS on STN | (Conti | |
|------|-----------------------------|----------------|----------|-----|---------------|--------|---|
| | TW 396159 | В | 20000701 | | 1994-83103422 | | 19940418 |
| | US 5539103 | A | 19960723 | | 1994-351532 | | 19941207 |
| | US 5723634 | A | 19980303 | | 1995-483309 | | 19950607 |
| | US 6066747 | A | 20000523 | | 1995-522307 | | 19951030 |
| | US 6069260 | A _. | 20000530 | | 1997-941640 | | 19970930 |
| | US 6479678 | B1 | 20021112 | | 2000-517791 | | 20000302 |
| | US 2001014746 | A1 | 20010816 | US | 2001-804821 | | 20010313 |
| | US 6562962 | B2 | 20030513 | | 2002 200410 | | 20020730 |
| | US 2003027855 | A1 B2 | 20030206 | US | 2002-208418 | | 20020730 |
| | US 6710191 US 2003120096 | Al | 20030626 | tte | 2002-289103 | | 20021106 |
| | US 6683196 | B2 | 20030020 | 03 | 2002-209103 | | 20021100 |
| | JP 2004043439 | A2 | 20040212 | .TP | 2003-128200 | | 20030506 |
| | US 2004073048 | Al | 20040415 | | 2003-673897 | | 20030929 |
| PRIO | RITY APPLN. INFO. | | | | 1991~763805 | А | 19910923 |
| | | | | | | | |
| | | | | us | 1992-862955 | A | 19920403 |
| | | | | | | | |
| | | | | US | 1992-863840 | А | 19920406 |
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| | | | | US | 1992-863451 | A | 19920403 |
| | | | | | 1992-863849 | А | 19920406 |
| | | | | US | 1992-863849 | Α. | 19920406 |
| | | | | He | 1992-900408 | А | 19920618 |
| | | | | | 1332 300100 | | |
| | | | | CA | 1992-2077394 | A3 | 19920902 |
| | | | | | | | |
| | | | | CA | 1992-2098478 | A3 | 19920922 |
| | | | | | | | |
| | | | | CS | 1994-660 | A | 19920922 |
| | | | | | 1004 551 | А | |
| | | | | CS | 1994-661 | A | 19920922 |
| | | | | FD | 1992-921316 | ъ 3 | 19920922 |
| | | | | | 1772-721310 | 7.3 | 1,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,, |
| | | | | EP | 1998-114788 | A3 | 19920922 |
| | | | | | •••• | | • |
| | | | | JP | 1993-506299 | A3 | 19920922 |
| | | | | | | | |
| | | | | US | 1992-949107 | В3 | 19920922 |
| | | | | | | | |
| | | | | WO | 1992-US7990 | А | 19920922 |
| | | | | | 1992-967998 | | 19921026 |
| | | | | US | 1992-96/996 | ь. | 19921020 |
| | | | | wo. | 1994-US2382 | w | 19940304 |
| | | | | | | - | 222.2004 |
| | | | | US | 1994-263270 | В1 | 19940621 |
| | | | | | | | |
| | | | | US | 1994-314532 | A1 | 19940928 |
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| | | | | US | 1994-351532 | A3 | 19941207 |
| | | | | | 1995-483309 | | 19950607 |
| | | | | US | 1333-463309 | A3 | 19930601 |
| | | | | | | | |

US 1996-607108

US 1997-941640

OTHER SOURCE(S): MARPAT 119:139574

A metal alkoxide MOCEIE2E3 (M = alkali metal, E1, E2, E3 = H, aliphatic, aryl, alkanoyloxy) is reacted with a β -lactam I [R1 = (un)protected OH, SH, NH2, R2 = H, aliphatic, aryl, heteroaryl, R3, R4 = aliphatic,

aryl,
heteroaryl, acyl, R5 = acyl, carboxy, thiocarboxy, amido, sulfonyl,
phosphoryl] to give isoserine esters R5NHCR3R4CRIR2COZCEIEZE3 which are
reacted with a metal derivative of a taxol derivative to give
appropriately
substituted isoserine esters, e.g. II.

14919-26-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(sequential lithiation and esterification by azetidinone derivative of
baccatin III derivative in preparation of taxol-related compound)
RN 14919-26-2 RCRPLUS
CN 2-Azetidinone, 4-(4-fluorophenyl)-1-(4-methoxybenzoyl)-3{(triethylsilyl)oxyl-, (3R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

A1 19960226

A1 19970930

rreparation of substituted taxanes as antitumor

Holton, Robert A.; Nadizadeh, Hossain; Beidiger, Ronald J.; Kim, Seokchan

PATENT ASSIGNEE(S): Eur. Fat. Appl., 43 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent
LANGUAGE: Pat.
English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

PATENT ...

| PAT | TENT NO. | | | KIN | • | DATE | | | AP | PL: | CAT | ION | NO. | | D | ATE | |
|-----|---|-----|-----|--------------------|-----|--------------|------|-----|------|-----|------|------|-------------|-----|-----|--------------|-----|
| EP | 534709 | | | Al | | | | | EP | 1 | 992- | 308€ | 509 | | 1 | 9920 | 922 |
| | 534709 | | | | | | | | | | | | | | | | |
| | R: AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | . GI | ۹, | ΙE, | IT, | LI, | LU, | MC, | NL, | PT, |
| | | | | | | | | | | | | | | | | | |
| | 5250683 | | | A | | 1993 1993 | 1005 | | US | 15 | 992- | 8634 | 151 1394 | | 1 | 9920 | 403 |
| CA | 2077394 | | | AA | | 19930 | 324 | | CA | 1 | 992- | 2077 | 1394 | | 1 | 9920 | 902 |
| | 2077394 | | | C C A1 B2 | | 19990 | 3406 | | | | | | | | | | |
| | 2221190 | | | С | | 20026 | 212 | | CA | 1: | 992- | 2221 | 190 | | 1 | 9920 | 902 |
| | 9222124 | | | A1 | | 1993 | 325 | | ΑU | 1 | 992- | 2212 | :4 | | 1 | 9920 | 904 |
| ΑU | 655493 | | | B2 | | 1994 | 1222 | | | | | | | | | | |
| ZA | 9206827 | | | А | | 19930 | 315 | | ZA | 1: | 992- | 6827 | , | | 1 | 9920 | 908 |
| ZA | 920682B | | | A | | 19930 | 315 | | ZA | 1 | 992- | 6826 | 3 | | 1 | 9920 9920 | 908 |
| ZA | 9206829 | | | А | | 19930 | 315 | | ZA | 1 | 992- | 6829 | • | | 1 | 9920 | 908 |
| ZA | 920703B | | | A | | 19930 | 0514 | | ZA | 1 | 992- | 7038 | 3 | | 1 | | |
| ZΑ | 9207039 | | | А | | 1993 | 1220 | | ZA | 1 | 992- | 7039 | • | | 1 | | |
| FI | 113173 | | | B1 | | 20040 | 315 | | FI | 1 | 992- | 4228 | 3 | | 1 | 9920 | 921 |
| NO | 9203679 | | | A | | 19930 | 324 | | NO | 1 | 992- | 3679 | • | | 1 | 9920 | 922 |
| МО | 305205 | | | B1 | | 19990 | 0419 | | | | | | | | | | |
| ΗU | 655493 9206827 9206828 9206829 9207038 9207039 113173 9203679 305205 63155 | | | A2 | | 1993 | 728 | | ΗŲ | 1! | 992- | 3024 | ı | | 1 | 9920 | 922 |
| ΗU | 215110 | | | В | | 1998 | 1228 | | | | | | | | | | |
| JΡ | 215110 06199824 3182231 884314 | | | A2 | | 19940 | 719 | | JP | 1! | 992- | 2767 | 165 | | 1 | 9920 | 922 |
| JΡ | 3182231 | | | B2 | | 20010 | 703 | | | | | | | | | | |
| ΕP | 884314 | | | A2 | | 19983 | 1216 | | ΕP | 1 | 998- | 1147 | 88 | | 1 | 9920 | 922 |
| ΕP | 884314 | | | A3 | | 20020 | 0502 | | | | | | | | | | |
| ΕP | 884314 | | | B1 | | 20040 | 121 | | | | | | | | | | |
| | R: AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | , GI | ۹, | IT, | LI, | LU, | NL, | SE, | MC, | ΙE |
| CZ | 287417 287609 | | | В6 | | 20003 | 1115 | | cz | 1! | 994- | 660 | | | 1 | 9920 | 922 |
| CZ | 287609 | | | В6 | | 20010 | 1117 | | cz | 1 | 994- | 661 | | | 1 | 9920 | 922 |
| EΡ | 1193252 | | | A2 | | 20020 | 0403 | | ΕP | 21 | 002- | 688 | | | 1 | 9920 | 922 |
| ΕP | 1193252 | | | A3 | | 2003 | 1105 | | | | | | | | | | |
| | R: AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | , G1 | R, | IT, | ĻΙ, | LU, | NL, | SE, | MC, | ΙE |
| ΑT | 231139 | | | E | | 20030 | 215 | | ΑT | 1: | 992- | 3086 | 509 | | 1 | 9920 | 922 |
| CA | 2254273 | | | С | | 20030 | 325 | | CA | 1 | 992- | 2254 | 1273 | | 1 | 9920 | 922 |
| ES | 2191005 | | | тз | | 20030 | 901 | | ES | 1 | 992- | 3086 | 609 | | 1 | 9920 | 922 |
| TW | 231139 2254273 2191005 396159 5539103 5723634 6066747 6069260 | | | В | | 20000 | 701 | | TW | 1 | 994- | 8310 | 3422 | | 1 | 9940 | 418 |
| US | 5539103 | | | А | | 19960 | 723 | | US | 1 | 994- | 3515 | 32 | | 1 | 9941 | 207 |
| US | 5723634 | | | А | | 19980 | 0303 | | US | 1: | 995- | 4833 | 109 | | 1 | 9950 | 607 |
| US | 6066747 | | | А | | 20000 | 0523 | | US | 1: | 995- | 5223 | 307 | | 1 | 9951 | 030 |
| US | 6069260 | | | A | | 20000 | 0530 | | US | 1: | 997- | 9416 | 40 | | 1 | 9970 | 930 |
| | | | | | | | | | | | | | | | | | |

ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN US 2000-566970 (Continued) A1 20000509 US 2002-194343 A1 20020712

us 2002-289103 A1 20021106

OTHER SOURCE(S): MARPAT 119:49694

AB Taxane derivs. I [R1, R3 = Ph, naphthyl, PhCH2CH2, 4-QC6H4 (Q = Me, Me3C, MeO, Cl, Br, F, OZN), 1,3-benzodioxolan-5-yl, 3,4-(MeO)2C6H3: Z = OT1 (T1 = H, hydroxyl protecting group, COT2, where T2 = H, C1-6 alkyl, C1-6 alkynyl or monocyclic aryl): El, E2 = H or certain functional groups which increase the water solubility of the taxane derivative), were prepared Thus, treatment of 7-triethylsilyl baccatin III in THF with

Buti at -45° followed by cis-1-benzoyl-1-triethylsilyloxy-4-(1-naphthyl)azetidin-2-one and subsequent desilylation (pyridine/aqueous HF

in

MECN) gave 3'-desphenyl-3'-(1-naphthyl)taxol in 64% yield. Tubulin binding assays of the compds. Were performed. The antitumor activity of compds. I were evaluated and claimed.

IT 146548-73-6 146549-01-3 148548-09-1

RL: RCT (Reactant); RRCT (Reactant or reagent) (reaction of, with lithiated (triethylsilyl)baccatin III, in preparation of neoplasm inhibitor)

RN 146548-73-6 HCAPLUS

C 2-Azetidinone, 1-(4-methoxybenzoyl)-4-phenyl-3-{(triethylsilyl)oxy}-, (3R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 148549-01-3 HCAPLUS 02/03/2006

| L4 | ANSWER 15 OF 20 | HCAPLUS | | | ACS on STN | (Conti | |
|------|------------------------------------|----------|----------------------|----|----------------------------|--------|----------------------|
| | US 6479678 US 2001014746 | B1 A1 | 20021112 20010816 | | 2000-517791 2001-804821 | | 20000302 20010313 |
| | US 6562962 US 2003027855 | B2 Al | 20030513 | us | 2002-208418 | | 20020730 |
| | US 6710191 | B2 | 20040323 | | | | |
| | US 2003120096 US 6683196 | A1 B2 | 20030626 | US | 2002-289103 | | 20021106 |
| | JP 2004043439 | A2 | 20040212 | | 2003-128200 | | 20030506 |
| PRIC | US 2004073048 RITY APPLN. INFO. | A1 : | 20040415 | | 2003-673897 1991-763805 | | 20030929 19910923 |
| | | | | US | 1992-863451 | А | 19920403 |
| | | | | | 1992-862955 | A | 19920403 |
| | | | | | | | |
| | | | | US | 1992-863840 | А | 19920406 |
| | | | | US | 1992-863849 | A | 19920406 |
| | | | | US | 1992-900408 | A | 19920618 |
| | | | | CA | 1992-2077394 | А3 | 19920902 |
| | | | | CA | 1992-2098478 | A3 | 19920922 |
| | | | | cs | 1994-660 | A | 19920922 |
| | | | | cs | 1994-661 | A | 19920922 |
| | | | | EP | 1992-921316 | A3 | 19920922 |
| | | | | EP | 1998-114788 | АЗ | 19920922 |
| | | | | JP | 1993-506299 | A3 | 19920922 |
| | | | | US | 1992-949107 | В3 | 19920922 |
| | | | | US | 1992-967998 | В1 | 19921026 |
| | | | | WO | 1994-US2382 | W | 19940304 |
| | | | | US | 1994-263270 | ві | 19940621 |
| | | | | US | 1994-314532 | Al | 19940928 |
| | | | | US | 1994-351532 | A3 | 19941207 |
| | | | | US | 1995-483309 | А3 | 19950607 |
| | | | | us | 1996-607108 | A1 | 19960226 |
| | • | | | US | 1997-941640 | A1 | 19970930 |
| | | | | US | 2000-517791 | A1 | 20000302 |

ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) 2-Azetidinone, 4-(4-fluorophenyl)-1-(4-methoxybenzoyl)-3-((triethylsilyl)oxy)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

148549-09-1 RCAPLUS
2-Azetidinone, 1-(4-methoxybenzoyl)-4-(4-methoxyphenyl)-3[(triethylsilyl)oxy]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 198:1966 HCAPLUS

110:7966 HCAPLUS

110:7966 HCAPLUS

110:7966 HCAPLUS

23. Cycloadditions of N-acylimines to cyclobutedienes

AUTHOR(S): Michael; Schneider, Juergen

CORPORATE SOURCE: Fachize, Univ. Kaiserslautern, Kaiserslautern, D-6750, Fed. Rep. Ger.

SOURCE: Chemische Berichte (1989), 121(10), 1775-83

CODEN: CHBEAM; ISSN: 0009-2940

JOURNE

DOCUMENT TYPE:

CODEN: CHBEAM; IS: Journal German CASREACT 110:7966 OTHER SOURCE (S):

$$\begin{array}{c} \text{Me}_3\text{C} & \text{CMe}_3 \\ \\ \text{Me}_3\text{C} & \\ \\ \text{R}^1\text{O}_2\overset{\text{N}}{\subset} \\ \\ \text{R}^2 \end{array} \quad \text{V}$$

The cyclobutadienes I (R = Me3C, Me) add the benzaldehyde imines PhCH:NCOR1 (R1 = Me, Me3CCH2, Ph) to yield the oxaazablcyclo(4.2.0)octadienes II. Under thermal conditions (150-170 °C) III isomerize in each case to 2-azablcyclo(2.2.0)hexenes III and/or IV, which differ only in the configuration at C(3). I-catalyzed isomerization reactions of II (chloroform/trifluoroacetic acid) finally end up elso in the formation of IV. The reaction of the N-acylimines of hexafluoroacetone with I (R = Me3C) leads also to the formation of cxaazablcyclo(4.2.0)cotadienes. The reaction of I, (R = Me3C) with the N-alkoxycarbonyl-substituted imines produces an unusual result with the formation of the 3-azatricyclo(3.1.0.02,6)hexanes V (R1 = Me, R2 = Ph, R3 = H: R1 = Et, R2 = R3 = F3C) which can be transformed into bicyclic

ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

isomers. 114692-73-8P 114692-75-0P IT

114692-73-09 114692-75-09
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
114692-73-0 HCAPUS
2-Azabicyclo[2.2.0]hex-5-ene-4-carboxylic acid, 1,5,6-tris(1,1-dimethylethyl)-2-(4-methoxybenzoyl)-3,3-bis(trifluoromethyl)-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

114692-75-0 HCAPLUS 2-Azabicyclo(2.2.0)hex-5-ene, 1,5,6-tris(1,1-dimethylethyl)-2-(4-methoxybenzoyl)-3,3-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:514779 HCAPLUS

DOCUMENT NUMBER: 105:114779 Simple and condensed β-lactams. II. The synthesis of new diethyl 4-oxoazetidine-2,2-dicarboxylates and some manipulations of their functional groups and N-substituents

AUTHOR(S): Simig, Gyula: Fetter, Jozsef; Hornyak, Gyula: Zauer, Karoly; Doleschall, Gabor: Lempert, Karoly: Nyitrai, Jozsef; Gombos, Zsuzsa; Gizur, Tibor; et al.

CORPORATE SOURCE: Res. Group Alkaloid Chem., Hung. Acad. Sci.,

Budapest,

SOURCE:

H-1521, Hung. Acta Chimica Hungarica (1985), 119(1), 17-32 CODEN: ACHUDC; ISSN: 0231-3146 Journal English

DOCUMENT TYPE:

LANGUAGE:

-A series of new N-aryl- and N-aralkyl-4-oxoazetidine-2,2-dicarboxylates I $\{R=Ph,\ substituted\ Ph,\ \{un\}substituted\ CR2Ph\}\ has been obtained by the Bose-Sheehan synthesis. Partial deethoxycarbonylation of I by Krapcho's method furnished the monocarboxylic esters. Reduction of the ester$

method furnished the monocarboxylic esters. Reduction of the ester group of the latter gave the hydroxymethyl derivs., whose hydroxyl groups were derivatized and replaced to give II (Rl = ONO2, OAc, O2CHMPh, O3SMe, halogen, cyano, N3, NH2, pyridinium). The N-substituent of II [R = CH2C6H4(OMe)2-2,4, Rl = O3SMe, cyano] was removed by the peroxydisulfate oxidation method.

IT 103864-98-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
RN 103864-98-8 HCAPLUS
CN 2-Azetidineacetonitrile, 1-{2,4-dimethoxybenzoyl}-4-oxo- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 20 ACCESSION NUMBER: 1985:422390 HCAPLUS
DOCUMENT NUMBER: 103:22390 HCAPLUS
103:22390

OTHER SOURCE(S):

$$H_2C$$
 H_2C OMe OM

Anodic oxidation of the methylene-β-lactam I (R = CH2Ph) in MeOH gave I (R = CHPhOMe) and II. Similar results were obtained with I (R = CH2C6H4C02Me-4). Oxidation of I (R = CH2C6H4C02Me-4) gave I [R = CH2C6H4C04Me-4, cloceded colored col

8-Azabicyclo[5.2.0]non-1-en-9-one, 8-(4-methoxybenzoyl)- (9CI) (CA INDEX

L4 ANSWER 19 OF 20
ACCESSION NUMBER:
1984:610814 HCAPLUS
101:210814
Chemical modification of sulfazecin. Synthesis of
4-methoxycarbonyl-2-azetidinone-1-sulfonic acid
derivatives
AUTHOR(S):

AUTHOR(S):

CORPORATE SOURCE:

C

DOCUMENT TYPE: LANGUAGE: GI

In the course of the chemical modification of sulfazecin,
3-[2-(2-aminothiazol-4-yl)-(Zn)-2-(substituted oxyimino)acetamido]-4methoxycarbonyl-2-azetidinone-1-sulfonic acids were synthesized from
cis-1-(2,4-dimethoxybenzyl)-4-methoxycarbonyl-3-phthalimido-2-azetidinone
(I). These new 4-substituted derivs. showed more potent antimicrobial
activities against gram-neg, bacteria than did the corresponding
4-unsubstituted comounds, and the derivs. having 3,4-cis stereochem. were
more active than the trans isomers, especially against P. aeruginosa and some

 $\beta\text{-lactamase-producing bacteria.}$ The reported procedure for the cycloaddn. reaction used to prepare I was investigated in detail; by the

use of 20% excess NEt3, I was easily obtained in 72% yield as colorless crystals. A possible intermediate in this cycloaddn. reaction, acyliminium salt (II), was isolated as crystals and converted into \$\theta\$-lactams by treatment with 1,8-diazabicyclo[5.4.0]-7-undecene. 92973-54-19.
RL: SPN (Synthetic preparation); PREP (Preparation)

ΙT

(preparation of)
92973-54-1 HCAPLUS
2-Azetidinecarboxylic acid, 1-(2,4-dimethoxybenzoyl)-4-oxo-3[[(phenylmethoxy)carbonyl]amino]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1980: 425983 HCAPLUS DOCUMENT NUMBER: 93:25983

DOCUMENT NUMBER: 93:25983 Studies on the synthesis of chemotherapeutics. VIII. Stereoselective synthesis of

1,9b-dihydro-2H,4H-2-oxo-

azeto[1,2-c][1,3]benzoxazine-4-carboxylic acid derivatives. (Studies on the syntheses of heterocyclic compounds. DCCCXIII)
Kametani, Tetsuji Kigasawa, Kazuo; Hiiragi,

AUTHOR(S): Mineharu;

Wakisaka, Kikuo; Sugi, Hideo: Tanigawa, Keizo Pharm. Inst., Tohoku Univ., Sendai, Japan Yakugaku Zasshi (1979), 99(11), 1132-40 CODEN: YKKZAJ; ISSN: 0031-6903 Journal CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE:

Japanese CASREACT 93:25983

OTHER SOURCE(S):

AB

Cyclization of the phthalimidoazetidinylacetates I (R = CH2CC13, CH2CGH4N02-p) gave stereoselectively the corresponding 1,2-benzo-3-oxacephams II together with a stereoisomer. The I were

A,2-DenZU-3-OXACEPNAMS 11 together with a stereoisomer. The I were ared via the cycloaddn. reaction of N-(2-benzyloxybenzylidene)-2,4-dimethoxybenzylamine with phthaloylglycyl chloride, followed by oxidative cleavage of 2,4-dimethoxybenzyl group of the adduct III. Deprotection of the N-phthaloyl and ester groups of II was also investigated.
73902-73-5P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
73902-73-5 HCAPLUS
2-Azetidinone, 3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-(2,4-dimethoxybenzoyl)-4-[2-(phenylmethoxy)phenyl]-, cis- (9CI) (CA INDEX NAME)

ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 $\,$ ANSWER 20 OF 20 $\,$ HCAPLUS $\,$ COPYRIGHT 2006 ACS on STN Relative stereochemistry. (Continued)

Page 16 02/03/2006

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http://www.cas.org/ONLINE/UG/regprops.html

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chain nodes : 7 9 13 15 17 18 20 ring nodes : 1 2 3 4 5 6 8 10 11 12 chain bonds : 1-20 2-13 3-18 5-7 7-8 7-9 13-17 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 8-10 8-12 10-11 11-12 exact/norm bonds : 1-20 2-13 3-18 7-8 7-9 8-10 8-12 10-11 11-12 13-17 exact bonds : 5-7 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems : containing 8 :

G1:H,[*1]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:CLASS 10:Atom 11:Atom 12:Atom 13:CLASS 15:CLASS 17:CLASS 18:CLASS 20:CLASS Generic attributes :

deneric accribaces

15:

Number of Carbon Atoms : less than 7

L5 STRUCTURE UPLOADED

=> file reg SINCE FILE TOTAL COST IN U.S. DOLLARS ENTRY SESSION FULL ESTIMATED COST 0.44 277.38 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -15.00

FILE 'REGISTRY' ENTERED AT 14:21:21 ON 03 FEB 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 1 FEB 2006 HIGHEST RN 873294-13-4 DICTIONARY FILE UPDATES: 1 FEB 2006 HIGHEST RN 873294-13-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> s 15 SAMPLE SEARCH INITIATED 14:21:39 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 19769 TO ITERATE

10.1% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 386964 TO 403796
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s 15 full FULL SEARCH INITIATED 14:21:43 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 393651 TO ITERATE

02/03/2006 Page 19

O ANSWERS

100.0% PROCESSED 393651 ITERATIONS

SEARCH TIME: 00.00.08

L7 33 SEA SSS FUL L5

=> file hcaplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 166.94 444.32

33 ANSWERS

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE TOTAL
ENTRY SESSION

CA SUBSCRIBER PRICE 0.00 -15.00

FILE 'HCAPLUS' ENTERED AT 14:21:57 ON 03 FEB 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 3 Feb 2006 VOL 144 ISS 7 FILE LAST UPDATED: 2 Feb 2006 (20060202/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 133

L33 NOT FOUND

The L-number entered has not been defined in this session, or it has been deleted. To see the L-numbers currently defined in this session, enter DISPLAY HISTORY at an arrow prompt (=>).

=> s 17

L8 17 L7

=> d ibib hitstr 1-17

L8 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:
DOCUMENT NUMBER:
144:102803
Different Transition-State Structures for the Reactions of β-Lactams and Analogous β-Sultrams with Serine β-Lactamases

AUTHOR(S):
Tang, Wing Y.: Almed, Naveed; Hinchliffe, Paul S.:
Wood, J. Matthew: Harding, Lindsay P.; Laws, Andrew P.: Page, Michael I.

CORPORATE SOURCE:
Department of Chemical and Biological Sciences, University of Huddersfield, Queensgate /Huddersfield, NO1 3PH, USA
JOURNAL Of the American Chemical Society (2005), 127(49), 17556-17564
CODEN: JACSAT: ISSN: 0002-7863
American Chemical Society
JOURNAL FIRM STATES PAGE 1878 (PROPERTIES): SEN

PUBLISHER: DOCUMENT TYPE: LANGUAGE: IT 873073-29-1P

17 #73073-29-1P RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic

thetic preparation); BIOL (Biological study); PREP (Preparation) (different transition-state structures for reactions of β-lactams and analogous β-sultams with serine β-lactamases) 873073-29-1 HCAPEUS INDEX NAME NOT YET ASSIGNED

THERE ARE 79 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2006 ACS ON STN 862780-47-0P 862780-52-7P 862780-55-0P 862780-55-1P 862780-55-2P 862780-58-3P

RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(USES)
(preparation of azetidine derivs. useful as COX-1/COX-2 inhibitors)
862780-47-0 HCAPLUS
3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoy1]-3-methyl(9CI) (CA INDEX NAME)

862780-52-7 HCAPLUS
Acetamide, N-[(25,3R)-1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-2-methyl-3-azetidinyl]-2,2,2-trifluoro- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

862780-55-0 HCAPLUS
3-Azetudinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl}-2-methyl[9C1] (CA INDEX NAME)

862780-56-1 RCAPLUS Azetidine, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl}-3-bromo- (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2005:823313 HCAPLUS
DOCUMENT NUMBER: 143:229708
TITLE: Apreparation of azetidine derivatives, useful as
COX-1/COX-2 inhibitors
Altisen, Rosa Cuberes; Constansa, Jordi Frigola;
Alvarez, Mathieu Ines
PATENT ASSIGNEE(S): Spain

INVENTOR(S):

Alvarez, Mathieu Ines spain U.S. Pat. Appl. Publ., 21 pp. CODEN: USXXCO Patent English 1 PATENT ASSIGNEE(S):

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 20040319 US 2005182041 A1 A1 A1 20050818 US 2004-804505 ES 2244313 WO 2005077896 20051201 ES 2004-363 20040216 20050216 5077896
AE, AG, AL,
CN, CO, CR,
GE, GH, GM,
LK, LR, LS,
NO, NZ, OM,
TJ, TM, TN,
EW, GH, GM,
AZ, BY, KG,
EE, ES, FI,
RO, SE, SI,
MR, NE, SN,
PLN. INFO:: A1 2005025 W0 2005-EP1657
AM, AT, AU, AZ, BA, BB, BG, BR, EW,
CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
HR, HU, ID, IL, IN, IS, JP, KE, KG,
LT, LU, LY, MA, MD, MG, MK, MM, MW,
PG, PH, PL, PT, RO, RU, SC, SD, SE,
TR, TT, TZ, UA, UG, US, UZ, VC, VN,
KE, LS, MW, MZ, NA, SD, SL, SZ, TZ,
KZ, MD, RU, TJ, TM, AT, BE, BG, CH,
FR, GB, GR, HU, IE, IS, IT, LT, LU,
SK, TR, BF, BJ, CF, CG, CI, CM, GA,
TD, TG 20050825 WO 2005-EP1657 20050216
BY, BZ, CA, CH,
ES, FI, GB, GD,
KP, KR, KZ, LC,
MX, MZ, NA, NI,
SG, SK, SL, SY,
VJ, ZA, ZM, ZW
UG, ZM, ZW, AM,
CY, CZ, DE, DK,
MC, NL, PL, PT,
GN, GQ, GW, ML,

PRIORITY APPIN ES 2004-363 A 20040216

US 2004-804505 A 20040319

OTHER SOURCE(S): MARPAT 143:229708

IT 862780-46-9P

RI: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of azetidine derivs. useful as COX-1/COX-2 inhibitors)

RN 862780-46-9 HCAPLUS

3-Azetidinol, 1-{3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl)- (9CI) (CA

ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

862780-57-2 HCAPLUS 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]- (9CI) (CA INDEX NAME)

862780-58-3 HCAPLUS 3-Azetidinol, 1-[4-hydroxy-3,5-bis(1-methylethyl)benzoyl]- (9CI) (CA INDEX NAME)

862780-60-7 HCAPLUS 3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-2-phenyl-(9CI) (CA INDEX NAME)

862780-61-8 HCAPLUS
3-Azetidinol, 1-[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]-3-phenyl[951) (CA INDEX NAME)

ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) t-Bu

L8 ANSWER 3 OF 17
ACCESSION NUMBER:
DOCUMENT NUMBER:
140:303552
Preparation of β-amino acid derivatives as inhibitors of matrix metalloproteases and TNF-α
Duan, Jingeur, King, Bryan W., Decico, Carl;
Maduskuie, Thomas P.; Voss, Mathew E.
US.
SOURCE:
US.
PATENT ASSIGNEE(S):
SOURCE:
US.
PATENT TYPE:
DOCUMENT TYPE:
DOCUMENT TYPE:
DOCUMENT TYPE:
PATENT INFORMATION:
PATENT INFORMATION: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE US 2004072802 A1 20040415 US 2002-267207 US 2002-267207 20021009 PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 140:303552 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of β-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-u) 362697-32-3 HCAPLUS 3-Azetidinecarboxamide, N-hydroxy-1-{4-[(2-methyl-4-quinolinyl)methoxy]benzoyl)- (9CI) (CA INDEX NAME)

362703-18-2P

L8 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of \$\beta\$-maino acid derivs. as inhibitors of matrix
metalloproteases and TNF-\alpha
RN 362703-18-2 HCAPLUS
CN 3-Azetidinecarboxylic acid,
1-[4-[(2-methy)-4-quinoliny]]methoxy]benzoyl}, methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
140:111265
Preparation of azetidinecarboxylic acid and
pyrrolidinecarboxylic acid N-hydroxyamide derivatives
as antibacterial agents
INVENTOR(S):
RAJU, Bore G.: Odowd, Hardwin: Gao, Hongwu: Patel,
Dinesh V.: Trias, Joaquim
PATENT ASSIGNEE(S):
SOURCE:
PATENT ASSIGNEE(S):
BAJU, Bore G.: Odowd, Hardwin: Gao, Hongwu: Patel,
Dinesh V.: Trias, Joaquim
Vicuron Pharmaceuticals, Inc., USA
PCT Int. Appl., 172 pp.
CODEN: PIXXD2
Patent INFORMATION:
English
FAMILY ACC. NUM. COUNT: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2004007444 A2 20040122 WO 2003-US21838 20030711

WC AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, II, IN, IS, JF, KE, KG, KF, KR, KZ, LC, LK, LR, LS, LT, LU, LV, NA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, GS, KS, SL, SY, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, D, TG

CA 2492035 AA 20040115 CA 2003-2492035 20030711

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, LE, SI, LT, LV, FR, CB, KC, YL, RG, CC, EE, NC, PT, LE, SI, LT, LV, FR, RO, CY, CZ, EE, HU, SK

JP 2005536510 T2 20051202 JP 2004-521744 20030711 PATENT NO. KIND DATE APPLICATION NO. WO 2003-US21838 W 20030711

wo 2003-US21838 w 20030711
OTHER SOURCE(S):
MARPAT 140:111265
IT 647856-14-2p, (R)-1-(3,5-Diallyl-4-methoxybenzoyl)azetidine-2carboxylic acid hydroxyamide 647856-15-3p, (R)-1-(4-Methoxy-3,5dipropylbenzoyl)azetidine-2-carboxylic acid hydroxyamide
647856-18-6p, (R)-1-(4-Methoxy-3-propylbenzoyl)azetidine-2carboxylic acid hydroxyamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(antibacterial agent; preparation of azetidinecarboxylic acid and
pyrrolidinecarboxylic acid N-hydroxyamide derivs. as antibacterial
agents)
RN 647856-14-2 KCAPLUS
CN 2-hartidinecarboxamide,
N-hydroxy-1-(4-methoxy-3,5-di-2-propenylbenzoyl)-,
(ZR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

647856-15-3 HCAPLUS 2-Azetidinecarboxamide, N-hydroxy-1-(4-methoxy-3,5-dipropylbenzoyl)-, (2R)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

647856-18-6 HCAPLUS
2-Azetidinecarboxamide, N-hydroxy-1-(4-methoxy-3-propylbenzoyl)-, (2R)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

647856-16-4, (R)-1-(3,5-Diallyl-4-methoxybenzoyl)azetidine-2-carboxylic acid methyl ester RL: RCT (Reactant): RACT (Reactant or reagent) (preparation of azetidinecarboxylic acid and pyrrolidinecarboxylic

N-hydroxyamide derivs. as antibacterial agents) 647856-16-4 HCAPLUS 2-Azetidinecarboxylic acid, 1-(4-methoxy-3,5-di-2-propenylbenzoyl)-,

L8 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
11TILE:
140:93653
An Evaluation of Amide Group Planarity in
7-Azabicyclo[2.2.1]heptane Amides. Low Amide Bond
Rotation Barrier in Solution
Otani, Yuko: Nagae, Osamu: Naruse, Yuji; Inagaki,
Satoshi; Ohno, Masashi; Yamaquchi, Kentaro: Yamamoto,
Gaku: Uchiyama, Masanobu; Ohwada, Tomohiko
Graduate School of Pharmaceutical Sciences, The
University of Tokyo, Bunkyo, Tokyo, 113-0033, Japan
Journal of the American Chemical Society (2003),
125(49), 15191-15199
CODUMENT TYPE:
LANGUAGE:
COTHER SOURCE(S):
CASREACT 140:93653
T1 643026-89-5P
T1 SEP CONVECTAL CONTROL PROCESSI; PRP (Properties);

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:93653
TT 643026-89-5P
RL: PEP (Physical, engineering or chemical process); PRP (Properties);

(Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC

(Process)

(evaluation of amide group planarity in azabicycloheptane amides)
643026-89-5 HCAPLUS
Azetidine, 1-(4-methoxybenzoyl)- (9CI) (CA INDEX NAME)

FORMAT

THERE ARE 72 CITED REFERENCES AVAILABLE FOR REFERENCE COUNT: THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN methyl ester, (2R)- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

L8 ANSWER 6 OF 17
ACCESSION NUMBER:
DOCUMENT NUMBER:
135:272694
Preparation of β-amino acid derivatives as inhibitors of matrix metalloproteases and TNP-α
Duan, Jingwu. King, Bryan W.; Decico, Carl;
Maduskuie, Thomas P., Jr.; Voss, Matchew E.
Duport Pharmaceuticals Company, USA
PCT Int. Appl., 483 pp.
COOEN: PIXXD2
DOCUMENT TYPE:
LANGUAGE:
PAMILY ACC. NUM. COUNT:
1
PATENT INFORMATION:

| | TENT | | | | | | | | | | | | | | | | |
|----------------------------|--------------|------|------|-----|-----|-----|------|------|-----|-------|------|------|-----|-----|-----|------|-----|
| | | | | | | | | | | | | | | | | | |
| WO | 2001 | 0707 | 34 | | A2 | | 2001 | 0927 | | WO 2 | 001- | US83 | 36 | | 2 | 0010 | 315 |
| WO | 2001 | 0707 | 34 | | A3 | | 2002 | 0314 | | | | | | | | | |
| | W: | AT. | AU. | BR, | CA. | CH, | CN, | CZ. | DE, | DK. | EE, | ES. | FI. | GB. | HU, | IL. | IN, |
| | | | | | | | | | | | SE, | | | | | | |
| | | | AZ. | | | | | | | | | | | | . , | | |
| | RW: | AT. | BE. | CH. | CY. | DE. | DK. | ES. | FI. | FR. | GB, | GR. | IE. | IT. | LU. | MC. | NL. |
| | | | | | | | | | | | | | | | | | |
| 43 | 2400 | 368 | , | | 44 | | 2001 | 0927 | | CA 2 | 001- | 2400 | 168 | | 2 | 0010 | 315 |
| 114 | 2001 | 0508 | 50 | | 85 | | 2001 | 1003 | | AII 2 | 001- | 5085 | 0 | | 2 | 0010 | 315 |
| E.P. | 1263 | 756 | | | A2 | | 2002 | 1211 | | EP 2 | 001- | 9241 | 71 | | | 0010 | 315 |
| EP | 1263 1263 | 756 | | | 81 | | 2004 | 0225 | | | | | • | | _ | | |
| | R; | | | | | | | | | | | | | | | | |
| | | TE | e T | t.T | LV | ET. | PO. | cv | TD | | | | | | | | |
| BR JP AT NZ ES | 2001 | 0094 | 69 | , | Δ, | , | 2003 | 0429 | ••• | RR 2 | 001+ | 9469 | | | 2 | 0010 | 315 |
| .TP | 2003 | 5280 | 97 | | т2 | | 2003 | 0924 | | JP 2 | 001- | 5689 | 35 | | 5 | 0010 | 315 |
| AT | 2602 | 72 | ٠, | | Ē | | 2004 | 0315 | | AT 2 | 001- | 9241 | 71 | | 2 | 0010 | 315 |
| N7 | 5212 | 45 | | | 2 | | 2004 | 0430 | | N7 2 | 001- | 5212 | 45 | | | 0010 | 315 |
| Fe | 2215 | .003 | | | 7.3 | | 2004 | 1016 | | FG 2 | 001- | 1024 | 171 | | | 0010 | 315 |
| iie | 2002 | 0133 | 41 | | 21 | | 2002 | 0131 | | 116 2 | 001- | 8111 | 16 | | | 0010 | 316 |
| | 6495 | | •• | | | | | | | 05 2 | 001- | 0111 | | | - | | *** |
| IIV | 1040 | 224 | | | n 1 | | 2004 | A716 | | טע פ | 003- | 1014 | 37 | | 2 | 0030 | 226 |
| PRIORIT | מסת ע | TM | TNEO | | ~1 | | 2004 | 0,10 | | 110 2 | 000- | 1017 | 838 | | p 2 | 0000 | 317 |
| PKIOKII | APE | TW. | INFO | • • | | | | | | 03 2 | 000- | 1901 | DJF | | F 2 | 0000 | 31, |
| | | | | | | | | | | US 2 | 000- | 2354 | 67P | | P 2 | 0000 | 926 |
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| | | | | | | | | | | US 2 | 000- | 2520 | 62P | | P 2 | 0001 | 120 |
| | | | | | | | | | | | | | | | | | |
| | | | | | | | | | | WO 2 | 001~ | US83 | 36 | | W 2 | 0010 | 315 |

IT 362703-18-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of β-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-α)
RN 362703-18-2 RCAPLUS
CN 3-Azetidinecarboxylic acid,
1-[4-[(2-methyl-4-quionlinyl)]methoxy]benzoyl], methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 7 OF 17
ACCESSION NUMBER: 2000:210150 HCAPLUS
DOCUMENT NUMBER: 132:251667
TITLE: 132:251667
Novel amidine derivatives, their preparation and application as inhibitors of NO synthase and lipid peroxidation, and pharmaceutical compositions containing them
INVENTOR(S): Auvin, Serge: Chabrier de Lassauniere,

Harnett, Jeremiah: Pons, Dominique: Ulibarri, Gerard Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S, Fr. PCT Int. Appl., 119 pp. CODEN: PIXXD2 Patent French PATENT ASSIGNEE(S):

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

EP 1999-943024 A3 19990922

L8 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) WO 1999-FR2250 W 19990922 US 2001-787467 A3 20010316

262614-43-7 HCAPLUS Azetidine, 3-(4-aminophenyl)-1-(2-hydroxy-4,6-dimethoxybenzoyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 8 OF 17
ACCESSION NUMBER:
DOCUMENT NUMBER:
1171ZE:
1NVENTOR(S):

PATENT ASSIGNEE(S):
SOURCE:

DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT TOROWAYANGE:
PATENT TOROWAYANGE:
PATENT TOROWAYANGE:
DOUGH TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT TOROWAYANGE:
PATENT

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. DATE KIND US 5665719 PRIORITY APPLN. INFO.: US 1995-470693 US 1993-92840 19970909 19950606 B2 19930716

OTHER SOURCE(s): MARPAT 127:278203
IT 162045-63-BP 162045-66-1P
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation); RACT (Reactant or reagent)
(intermediate: preparation of benzoxazinone and benzopyrimidinone

(intermediate: preparation of bostonians of deriva, as oxytocin and vasopreasin receptor antagonists)

RN 162045-63-8 RAPBUS
CN 3-Azetidinamine, 1-{2,4-dimethoxybenzoyl}-N-{2-{hydroxymethyl}phenyl}-{9CI} (CA INDEX NAME)

162045-66-1 HCAPLUS

Benzenebutanoic acid, 2-[[1-{2,4-dimethoxybenzoyl}-3-azetidinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) L8 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

162042-77-5P 162042-79-7P

162042-79-7 HCAPLUS Azetidine, 1-(2,4-dimethoxybenzoyl)-3-(2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-1-yl)- (9CI) (CA INDEX NAME)

L8 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:513507 HCAPLUS
DOCUMENT NUMBER: 125:131668
27-Azeridinone Cholesterol Absorption Inhibitors:
Structure-Activity Relationships on the Heterocyclic

Nucleus Clader, John W.; Burnett, Duane A.; Caplen, Mary Ann; Domalski, Martin S.; Dugar, Sundeep; Vaccaro, Wayne: Sher, Rosy; Browne, Margaret E.; Zhao, Hongrong; et AUTHOR (S):

Sher, Rosy: Browne, matyact L., Land, man, al.
schering-Plough Research Institute, Kenilworth, NJ,
07033-0339, USA
Journal of Medicinal Chemistry (1996), 39(19),
3684-3693
CODEN: JMCMAR: ISSN: 0022-2623
American Chemical Society
Journal
English

CORPORATE SOURCE:

SOURCE:

Sa4-1993

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

T1 179763-35-09

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(structure-activity relations of azetidinone cholesterol absorption inhibitors)

RN 179763-35-0 HCAPLUS

CN 2-Azetidinone,

1-4-methoxybenzoyl)-4-(4-methoxyphenyl)-3-(3-phenylpropyl)
, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L8 ANSWER 10 OF 17
ACCESSION NUMBER:
DOCUMENT NUMBER:
1995:954289 HCAPLUS
124:116909
Simple and condensed β-lactams. Part 23.
Synthesis of some compounds related to the monobactams, carrying non-acylamino substituents in position 3 and various heterocyclyl or heterocyclylmethyl substituents in position 4 of the β-lactam ring

AUTHOR(S):

Fetter, Jozsef; Bertha, Ferenc, Czuppon, Tibor; Kajtar-Peredy, Maria; Lempert, Karoly
Dep. Org. Chem., Tech. Univ. Budapest, Budapest, H-1521, Hung.
Journal of Chemical Research, Synopses (1995), (11), 444-5
CODEN: JRPSDC: ISSN: 0308-2342
PUBLISHER: Royal Society of Chemistry
JOURNAL English
COTHER SOURCE(S):
CASREACT 124:116909
IT 172698-00-9P
SILE REW (Burcoduct): PERP (Preparation)

Relative stereochemistry.

ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

162042-79-7 HCAPLUS Azetidine. 1-(2, 4-dimethoxybenzoyl)-3-(2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-1-yl)- (9CI) (CA INDEX NAME)

162045-63-8P 162045-66-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists)
162045-63-8 HCAPLUS
3-Azetidinamine, 1-(2,4-dimethoxybenzoyl)-N-(2-(hydroxymethyl)phenyl)-(9CI) (CA INDEX NAME)

162045-66-1 HCAPLUS
Benzenebutanoic acid, 2-[[1-(2,4-dimethoxybenzoyl)-3-azetidinyl]amino}-,
methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1995: 470323 HCAPLUS DOCUMENT NUMBER: 123:276051

TITLE:

123:276051
Benzoxazinone and benzopyrimidinone piperidinyl
tocolytic oxytocin receptor antagonists
Bock, Mark G.; Evans, Ben E.; Hobbs, Doug W.;
Williams, Peter D.; Anderson, Paul S.; Freidinger,
Roger M.; Pettibone, Douglas J.
Merck and Co., Inc., USA
PCT Int. Appl., 385 pp.
CODEN: PIXXD2
Patent INVENTOR(S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE: English 2

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE 9502405 Al 19950126 W0 1994-US7784 19940714
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LT, LV, MD, MG, MN, MM, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, LE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CT, CM, GA, GN, ML, MR, NE, SN, TD, TG
2166975 C 20050405
9475132 Al 19950213 AU 1994-75132 19940714 WO 9502405 RB, BJ, CF, CG, CI, CI, CI, CA 1994-2.

CA 2166975 CA 2000405

AU 9475132 AI 19950213 AU 1994-75132 19940714

AU 691829 B2 19980528

EP 714299 AI 19960605 EP 1994-925092 19940714

EP 714299 B1 20020424

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

JP 09500134 T2 19970107 JP 1994-504656 19940714

AT 216580 E 20020515 AT 1994-925092 19940714

AT 216580 LE 20020515 AT 1994-925092 19940714 PRIORITY APPLN. INFO.:

OTHER SOURCE(S): R SOURCE(S): MARPAT 123:276051 162042-77-5P 162042-79-7P

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapy

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists) 162042-77-5 HCAPLUS Azetidine, 1-(2,4-dimethoxybenzoyl)-3-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-(9CI) (CA INDEX NAME)

ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L8 ANSWER 12 OF 17
ACCESSION NUMBER:
DOCUMENT NUMBER:
1995:44917 HCAPLUS
122:56244
TOPLISS approach to the synthesis of biologically active substituted N-benzoyl taxol analogs
AUTHOR(S):
Georg, Gunda 1.; Boge, Thomas C.; Cheruvallath, Zacharia S.; Harriman, Geraldine C. B.; Hepperle, Michael; Park, Haeil; Himes, Richard H.
Dep. Med. Chem., Univ. Kansas, Lawrence, KS, 66045, USA
Bloorganic & Medicinal Chemistry Letters (1994), 4(15), 1825-30
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE:
LANGUAGE:
BRIGOSS-87-79

CODEN: BMCLE8; ISSN: 0960-894X

DOUMENT TYPE: Journal
LANGUAGE: English

1 160058-97-79

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reaction with triethylsilylbaccatin III in synthesis

taxol analogs)
160058-87-7 HCAPLUS
2-Azetidinone, 3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-(4-methoxybenzoyl)-4-phenyl-, (3R-cis)- (9CI) (CA INDEX NAME)

| L8 | ANSWER 13 OF 17 | HCAPLUS | | | ACS on STN | (Conti | |
|------|--------------------------------|----------|----------------------|-----|----------------------------|--------|---|
| | TW 396159 | В | 20000701 | | 1994-83103422 | | 19940418 |
| | US 5539103 | A | 19960723 | | 1994-351532 | | 19941207 |
| | US 5723634 | A | 19980303 20000523 | | 1995-483309 1995-522307 | | 19950607 19951030 |
| | US 6066747 US 6069260 | A A | 20000523 | | 1997-941640 | | 19970930 |
| | US 6479678 | B1 | 20021112 | | 2000-517791 | | 20000302 |
| | US 2001014746 | A1 | 20010816 | | 2001-804821 | | 20010313 |
| | US 6562962 | B2 | 20030513 | | | | |
| | US 2003027855 | A1 | 20030206 | US | 2002-208418 | | 20020730 |
| | US 6710191 | B2 | 20040323 | | | | |
| | US 2003120096 | A1 | 20030626 | US | 2002-289103 | | 20021106 |
| | US 6683196 | B2 | 20040127 | | 2002 120200 | | 20030506 |
| | JP 2004043439 US 2004073048 | A2 A1 | 20040212 | | 2003-128200 2003-673897 | | 20030306 |
| DRIO | RITY APPLN. INFO. | | 20040413 | | 1991-763805 | А | 19910923 |
| FRIO | KIII AFFER. INCO. | • | | | 1331 .03000 | | .,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,, |
| | | | | US | 1992-862955 | А | 19920403 |
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| | | | | US | 1992-863840 | A | 19920406 |
| | | | | | 1000 053453 | _ | 10000403 |
| | | | | US | 1992-863451 | А | 19920403 |
| | | | | US | 1992-863849 | А | 19920406 |
| | | | | *- | | | |
| | | | | US | 1992-900408 | A | 19920618 |
| | | | | | | | |
| | | | | CA | 1992-2077394 | A3 | 19920902 |
| | | | | CD | 1992-2098478 | 2.2 | 19920922 |
| | | | | ٠. | 1372-2070470 | 7.3 | 13320322 |
| | | | | ÇS | 1994-660 | A | 19920922 |
| | | | | | | | |
| | | | | CS | 1994-661 | A | 19920922 |
| | | | | | 1992-921316 | | 19920922 |
| | | | | LF | 1992-921310 | A3 | 13320322 |
| | | | | £P | 1998-114788 | А3 | 19920922 |
| | | | | | | | |
| | | | | J₽ | 1993-506299 | A3 | 19920922 |
| | | | | | | | |
| | | | | US | 1992-949107 | В3 | 19920922 |
| | | | | WO | 1992-US7990 | А | 19920922 |
| | | | | | | | |
| | | | | US | 1992-967998 | B1 | 19921026 |
| | | | | | | | |
| | | | | WO | 1994-US2382 | W | 19940304 |
| | | | | 119 | 1994-263270 | B1 | 19940621 |
| | | | | | | ٠. | |
| | | | | US | 1994-314532 | A1 | 19940928 |
| | | | | | | | |
| | | | | US | 1994-351532 | A3 | 19941207 |
| | | | | tia | 1995-483309 | | 19950607 |
| | | | | US | 1995-403309 | A3 | 13330607 |
| | | | | US | 1996-607108 | Al | 19960226 |
| | | | | | | | |
| | | | | US | 1997-941640 | A1 | 19970930 |
| | | | | | | | |

| L8 ANSWER 13 OF 17 H | CAPLUS COPYRIGHT 2006 ACS on STN |
|--|--|
| ACCESSION NUMBER: | 1993:539574 HCAPLUS |
| DOCUMENT NUMBER: | 119:139574 |
| TITLE: | Preparation of substituted isoserine esters using metal alkoxides and (beta)-lactams |
| INVENTOR(S): | Holton, Robert A. |
| PATENT ASSIGNEE (S): | Florida State University, USA |
| SOURCE: | PCT Int. Appl., 82 pp. CODEN: PIXXD2 |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | English |
| FAMILY ACC. NUM. COUNT: PATENT INFORMATION: | 28 |

| | ENT | NO. | | | KINI |) | DATE | | | APE | LICA | LION | NO. | | DATE |
|-----------|------|------|-------------|-----|------|------|------|------|-----|------|-------|-------|------|------|--|
| 10 | 9306 | 079 | | | Al | | 1993 | 0401 | | WO | 1992 | -US79 | 90 | | 1992092 |
| | W: | ΑU, | CA, | CS, | FI, | ΗU, | JP, | ΚP, | KR, | NO | , PL | , RU | | | |
| | RW: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GΒ, | GP | , IE. | IT, | LU, | MC, | NL, SE |
| ٦A | 2221 | 190 | | | c | | 2002 | 0212 | | CA | 1992 | -2221 | 190 | | 1992090 |
| ΑS | 9206 | 827 | | | А | | 1993 | 0315 | | ZA | 1992 | -6827 | • | | 1992090 |
| ΑS | 9206 | 828 | | | А | | 1993 | 0315 | | ZA | 1992 | -6828 | ı | | 1992090 |
| AS | 9206 | 829 | | | А | | 1993 | 0315 | | ZA | 1992 | -6829 |) | | 1992090 |
| ΔS | 9207 | 038 | | | A | | 1993 | 0514 | | ZA | 1992 | -7036 | 1 | | 1992091 |
| ZΑ | 9207 | 039 | | | А | | 1993 | 1220 | | ZA | 1992 | -7039 | • | | 1992090 1992090 1992090 1992090 1992091 1992091 |
| CA | 2098 | 478 | | | AA | | 1993 | 0324 | | CA | 1992 | -2098 | 478 | | 1992092 |
| CA | 2098 | 478 | | | C | | 1999 | 0914 | | | | | | | |
| ΑU | 9226 | 890 | | | A1 | | 1993 | 0427 | | ΑU | 1992 | -2689 | 0 | | 1992092 |
| ΑU | 6479 | 71 | | | B2 | | 1994 | 0331 | | | | | | | |
| EΡ | 6056 | 37 | | | A1 | | 1994 | 0713 | | ΕP | 1992 | -9213 | 16 | | 1992092 |
| EΡ | 6056 | 37 | | | В1 | | 1999 | 0324 | | | | | | | 1992092 |
| | ъ. | ЪТ | BF | CH | DF | DK | FC | FD | GB | CP | TP | TΤ | T.T | 1.11 | MC NT. S |
| TP | 0750 | 2983 | , | ٠, | T2 | , | 1995 | 0330 | , | JP. | 1993 | -5062 | 99 | , | 1992092 1992092 1992092 |
| TP | 3469 | 237 | | | B2 | | 2003 | 1125 | | | | | | | |
| 411 | 7179 | 5 | | | B2 | | 1996 | 0228 | | ни | 1994 | -830 | | | 1992092 |
| . D | 8843 | 14 | | | A2 | | 1998 | 1216 | | EP | 1998 | -114 | 188 | | 199209 |
| E P | 8843 | 14 | | | A3 | | 2002 | 0502 | | | | | | | |
| E D | 8843 | 14 | | | B1 | | 2004 | 0121 | | | | | | | |
| | | | | | | | | | | | | | | | |
| 211 | 2128 | 654 | , | ·, | Ci | ٠, | 1999 | 0410 | | RII | 1994 | -443 | 4 | , | 199209 |
| a.T | 1780 | 60 | | | F | | 1999 | 0415 | | DТ | 1992 | -9213 | 116 | | 1992092 1992092 1992092 1992092 1992093 |
| re | 2132 | 129 | | | 73 | | 1999 | 0816 | | ES | 1992 | -9213 | 116 | | 1992092 |
| -2 | 2874 | 17 | | | 86 | | 2000 | 1115 | | CZ | 1994 | -660 | | | 1992092 |
| -2 | 2876 | n e | | | 86 | | 2001 | 0117 | | CZ | 1994 | -661 | | | 199209 |
| | 1193 | 252 | | | D2 | | 2002 | 0403 | | FP | 2002 | -688 | | | 199209 |
| | 1193 | 252 | | | A3 | | 2003 | 1105 | | | | | | | |
| | D. | DT | BF | CH | DF | DK | FS | FR | GR | G.F. | TT. | 1.1 | 1.11 | NT. | SE, MC, |
| ~a | 2254 | 273 | <i>D</i> ., | C, | Č, | D1., | 2003 | 0325 | UD, | ~~ | 1992 | -225 | 273 | , | 199209 |
| ~~ | 2581 | 71 | | | ě | | 2004 | 0215 | | DT | 1998 | -114 | 188 | | 1992093 |
| | 2214 | 665 | | | т3 | | 2004 | 0916 | | FS | 1998 | -114 | 188 | | 1992093 |
| 111 | 0330 | 838 | | | 13 | | 1993 | 0919 | | ΔII | 1993 | -398 | 18 | | 199209 199209 199209 199305 199403 |
| וומ | 6423 | 92 | | | D3 | | 1993 | 1014 | | ~0 | 2000 | 230. | , , | | |
| T.U | 9401 | 325 | | | A | | 1994 | 0504 | | FT | 1994 | -1321 | | | 199403 |
| e a Pt | 1137 | 45 | | | R1 | | 2004 | 0227 | | • • | .,,,, | . 32. | • | | 100100 |
| F 4 | 0401 | 022 | | | D.T. | | 1004 | 0520 | | МО | 1004 | -102 | , | | 199403 |
| | | | | | ~ | | | | | | | | | | |

| L8 | ANSWER | 13 | OF | 17 | HCAPLUS | COPYRIGHT | | ACS on STN 2000-517791 | (Conti | nued) 20000302 |
|----|--------|----|----|----|---------|-----------|------------|---------------------------|--------|-------------------|
| | | | | | | | US | 2000-566970 | Al | 20000509 |
| | | | | | | | U S | 2002-194343 | Al | 20020712 |
| | | | | | | | us | 2002-289103 | A1 | 20021106 |

OTHER SOURCE(S): MARPAT 119:139574

IT 149197-26-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(sequential lithiation and esterification by azetidinone derivative of baccatin III derivative in preparation of taxol-related compound)

RN 149197-26-2 MCAPLUS

CN 2-Azetidinone, 4-(4-fluorophenyl)-1-(4-methoxybenzoyl)-3[(triethylsilyl)oxy]-, (3R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

draw Fraigtoin 10/904 505

| Andrew Freis | stein 1 | .0/804,505 | | | | |
|---|--|---|----------------------------|---|--|---|
| L8 ANSWER 14 OF 17 HO ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: | 1993:44969 119:49694 | | as antitumor | US 6479678 I US 2001014746 I US 6562962 I | LUS COPYRIGHT 2006 ACS on STN B1 20021112 US 2000-517791 A1 20010816 US 2001-804821 B2 20030513 A1 20030206 US 2002-208418 | (Continued) 20000302 20010313 20020730 |
| agents INVENTOR(S): | Holton, Rol | Dert A.; Nadizadeh, Hossai | in; Beidiger, | US 6710191 | B2 20040323 | 20021106 |
| PATENT ASSIGNEE(S): SOURCE: | Florida Sta Eur. Pat. 3 | Kim, Seokchan ate University, USA Appl., 43 pp. | | US 6683196 JP 2004043439 | A1 20030626 US 2002-289103 B2 20040127 A2 20040212 JP 2003-128200 | 20030506 |
| DOCUMENT TYPE: | CODEN: EPX) | KDW | | US 2004073048 ; PRIORITY APPLN. INFO.: | A1 20040415 US 2003-673897 US 1991-763805 | 20030929 A 19910923 |
| LANGUAGE: FAMILY ACC. NUM. COUNT: | English 28 | | | | US 1992-863451 | A 19920403 |
| PATENT INFORMATION: | KIND DATE | **************** | DATE | | US 1992-862955 | A 19920403 |
| PATÉNT NO. | | | | | US 1992-863840 | A 19920406 |
| EP 534709 EP 534709 | B1 200 | 30331 EP 1992-308609 | 19920922 | | US 1992-863849 | A 19920406 |
| SE | | , FR, GB, GR, IE, IT, LI, | | | US 1992-900408 | A 19920618 |
| US 5250683 CA 2077394 | AA 1993 | 31005 US 1992-863451 30324 CA 1992-2077394 | 19920403 19920902 | | CA 1992-2077394 | A3 19920902 |
| CA 2077394 CA 2221190 | C 2002 | 90406 20212 CA 1992-2221190 | 19920902 | | CA 1992-2098478 | A3 19920922 |
| AU 9222124 AU 655493 | B2 1994 | 30325 AU 1992-22124 41222 | 19920904 | | CS 1994-660 | A 19920922 |
| ZA 9206827 ZA 9206828 | | 30315 ZA 1992-6827 30315 ZA 1992-6828 | 19920908 19920908 | | CS 1994-661 | A 19920922 |
| ZA 9206829 ZA 9207038 | | 30315 ZA 1992-6829 30514 ZA 1992-7038 | 19920908 19920915 | | EP 1992-921316 | A3 19920922 |
| ZA 9207039 FI 113173 | | 31220 ZA 1992-7039 40315 FI 1992-4228 | 19920915 19920921 | | EP 1998-114788 | A3 19920922 |
| NO 9203679 NO 305205 | A 199 | 30324 NO 1992-3679 90419 | 19920922 | | JP 1993-506299 | A3 19920922 |
| HU 63155 HU 215110 | A2 1993 | 30728 HU 1992-3024 81228 | 19920922 | | US 1992-949107 | вз 19920922 |
| JP 06199824 JP 3182231 | A2 1994 | 40719 JP 1992-276765 10703 | 19920922 | | US 1992-967998 | B1 19921026 |
| EP 884314 EP 884314 | A2 1996 | B1216 EP 1998-114788 20502 | 19920922 | | WO 1994-US2382 | W 19940304 |
| EP 884314 | B1 2004 | 40121 | NI PE MC IP | | US 1994-263270 | B1 19940621 |
| CZ 287417 | B6 2000 | , FR, GB, GR, IT, LI, LU, 01115 CZ 1994-660 | 19920922 | | US 1994-314532 | Al 19940928 |
| CZ 287609 EP 1193252 | A2 2002 | 10117 CZ 1994-661 20403 EP 2002-688 | 19920922 19920922 | | US 1994-351532 | A3 19941207 |
| | , DE, DK, ES, | 31105 , FR, GB, GR, IT, LI, LU, | NL, SE, MC, IE | | | |
| AT 231139 CA 2254273 | C 2003 | 30215 AT 1992-308609 30325 CA 1992-2254273 | 19920922 19920922 | | US 1995-483309 | A3 19950607 |
| ES 2191005 TW 396159 | В 2000 | 30901 ES 1992-308609 00701 TW 1994-83103422 | | | US 1996-607108 | A1 19960226 |
| US 5539103 US 5723634 | | 60723 US 1994-351532 80303 US 1995-483309 | 19941207 19950607 | | US 1997-941640 | Al 19970930 |
| US 6066747 US 6069260 | A 2000 | 00523 US 1995-522307 00530 US 1997-941640 | 19951030 19970930 | | US 2000-517791 | A1 20000302 |
| | | | | | · | |
| L8 ANSWER 14 OF 17 He | CAPLUS COPYI | RIGHT 2006 ACS on STN US 2000-566970 | (Continued) Al 20000509 | L8 ANSWER 14 OF 17 HCAP | LUS COPYRIGHT 2006 ACS on STN | (Continued) |
| | | US 2002-194343 | Al 20020712 | | | |
| | | US 2002-289103 | A1 20021106 | | | |
| preparation of neoplasm inhibit RN 148548-73-6 HCAPL CN 2-Azetidinone, 1-(| ; RACT (Reactith lithiated tor) US 4-methoxyben: | <pre>D9-1 tant or reagent) d (triethylsilyl)baccatin zoyl)-4-phenyl-3-{(trieth)</pre> | | | | |
| (3R-cis)- (9CI) (6 | | ⊳ / | | | | |

148549-01-3 HCAPLUS
2-Azetidinone, 4-(4-fluorophenyl)-1-(4-methoxybenzoyl)-3[(triethylsilyl)oxy]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

148549-09-1 HCAPLUS 2-Azetidinone, 1-{4-methoxybenzoyl}-4-{4-methoxyphenyl}-3-[(triethylsilyl)oxy}-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L8 ANSWER 15 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:514779 HCAPLUS

DOCUMENT NUMBER: 105:114779 HCAPLUS

Simple and condensed β-lactams. II. The synthesis of new diethyl 4-oxoazetidine-2,2-dicarboxylates and some manipulations of their functional groups and N-substituents

AUTHOR(S): Simig, Gyula; Fetter, Jozsef; Hornyak, Gyula; Zauer, Karoly; Doleschall, Gabor: Lempert, Karoly; Nyitrai, Jozsef; Gombos, Zsuzas; Glzur, Tibor; et al.

CORPORATE SOURCE: Res. Group Alkaloid Chem., Hung. Acad. Sci.,

CORPORATE SOURCE: Budapest,

H-1521, Hung. Acta Chimica Hungarica (1985), 119(1), 17-32 CODEN: ACHUDC; ISSN: 0231-3146 Journal English SOURCE:

CODEN: ACHUDC; ISSN: 0231-3146

DOCUMENT TYPE: Journal
LANGUAGE: English

11 103864-98-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 103864-98-8 HCAPLUS

CN 2-Actidineacetonitrile, 1-(2,4-dimethoxybenzoyl)-4-oxo- (9CI) (CA INDEX NAME)

L8 ANSWER 17 OF 17
ACCESSION NUMBER: 1980:425983 HCAPLUS
DOCUMENT NUMBER: 93:25583
Studies on the synthesis of chemotherapeutics. VIII.

1,9b-dihydro-2H,4H-2-oxo

azeto[1,2-c][1,3]benzoxazine-4-carboxylic acid derivatives. (Studies on the syntheses of heterocyclic compounds. DCCCXIII) Kametani, Tetsuji Kigasawa, Kazuo: Hiiragi,

Wakisaka, Kikuo; Sugi, Hideo; Tanigawa, Keizo Pharm. Inst., Tohoku Univ., Sendai, Japan Yakugaku Zasshi (1979), 99(11), 1132-40 CODEN: YKKZAJ; ISSN: 0031-6903 JOURNAI Japanese CASREACT 93:25983 CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE:

DOCUMENT TYPE: Journal
LANGUAGE: Japanese
OTHER SOURCE(S): CASREACT 93:25983

17 73902-73-5P
RL: SPN (Synthetic preparation): PREP (Preparation)
(preparation of)
RN 73902-73-5 HCAPJUS
CN 2-Azetidinone, 3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-(2,4-dimethoxybenzoyl)-4-[2-(phenylmethoxy)phenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L8 ANSWER 16 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:
DOCUMENT NUMBER:
101:210814
Chemical modification of sulfazecin. Synthesis of
4-methoxycarbonyl-2-azetidinone-1-sulfonic acid
derivatives
Xishimoto, Shoji; Sendai, Nichiyuki; Tomimoto,
Mitsumi; Hashiguchi, Shohei; Matsuo, Taisuke; Ochiai,
Michihiko
CORPORATE SOURCE:
CORPORATE SOURCE:
Chemical 4 Pharmaceutical Bulletin (1984), 32(7),
2646-59
CODEN: COBPAL; ISSN: 0009-2363
DOCUMENT TYPE:
JOURNAL COMPANY OF THE STREAM O

92973-54-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
92973-54-1 HCAPLUS
2-Azetidinecarboxylic acid, 1-{2,4-dimethoxybenzoyl}-4-oxo-3[[(phenylmethoxy)carbonyl]amino]-, methyl ester, cis- {9CI} (CA INDEX NAME)

Relative stereochemistry.

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|---------------------|------------------|
| FULL ESTIMATED COST | 67.26 | 511.58 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -15.00 |

STN INTERNATIONAL LOGOFF AT 14:23:54 ON 03 FEB 2006